

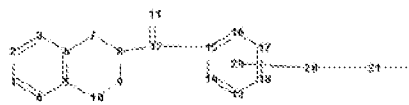
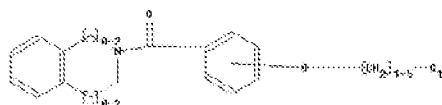
10/532,373 (amended)

***** Welcome to STN International *****
 ***** STN Columbus *****

FILE 'HOME' ENTERED AT 18:37:41 ON 16 JUN 2008

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chain nodes :

11 12 20 21 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18

chain bonds :

8-12 11-12 12-15 20-21 21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16

16-17 17-18

exact/norm bonds :

4-5 4-7 5-6 5-10 7-8 8-9 8-12 9-10 11-12 21-23

exact bonds :

12-15 20-21

normalized bonds :

1-2 1-6 2-3 3-4 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 13 :

G1:N,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS

21:CLASS 23:CLASS 24:Atom

=> s l1 sam

L2 2 SEA SSS SAM L1

=> s l1 full

L3 655 SEA SSS FUL L1

=> file caplus

=> s l3

L4 42 L3

=> s l4 and pd< oct 2002

22814425 PD< OCT 2002
(PD<20021000)

L5 10 L4 AND PD< OCT 2002

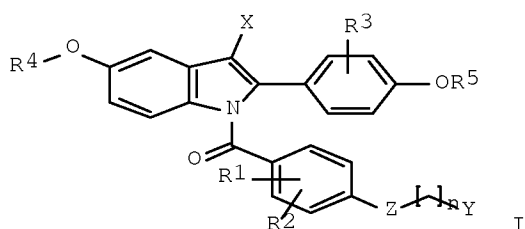
=> dis 15 1-10 bib abs hitstr

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:327915 CAPLUS Full-text
DN 136:340593
TI Preparation of N-(substituted)benzoyl indoles as estrogenic agents
IN Koko, Marci C.; Ullrich, John W.; Santilli, Arthur A.
PA American Home Products Corporation, USA
SO U.S., 7 pp.
CODEN: USXXAM

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6380185	B1	20020430	US 2000-513807	20000225 <--
PRAI	US 1999-155200P	P	19990304		
OS	MARPAT 136:340593				
GI					



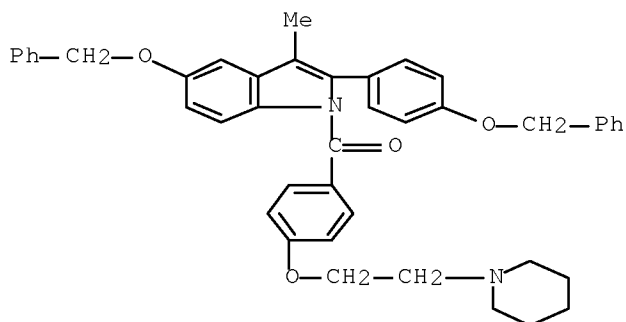
AB The title compds. [I; R1-R3 = H, halo, alkoxy, etc.; R4, R5 = H, (un)substituted CH2Ph; X = H, alkyl, CF3; Z = O, S; n = 2-3; Y = N(alkyl)2, pyrrolidino, piperidino, etc.], useful for treating or preventing disease states or syndromes which are caused or associated with an estrogen deficiency (such as bone loss) or an excess of estrogen, were prepared E.g., a 2-step synthesis of the indole I [R1-R5 = H; X = Me; Z = O; n = 2; Y = piperidino] which showed IC50 of 2.0x10⁻⁷ M against estrogen receptor binding, was given.

IT 291546-88-8P

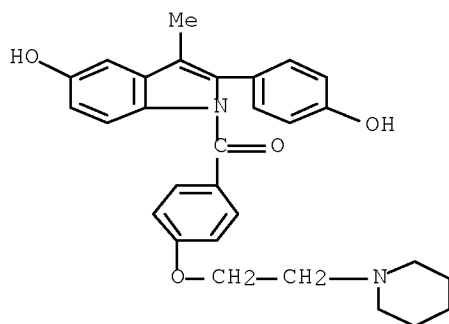
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-(substituted)benzoylindoles as estrogenic agents)

RN 291546-88-8 CAPLUS

CN 1H-Indole, 3-methyl-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



IT 291546-89-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of N-(substituted)benzoylindoles as estrogenic agents)
 RN 291546-89-9 CAPLUS
 CN 1H-Indol-5-ol, 2-(4-hydroxyphenyl)-3-methyl-1-[4-[2-(1-
 piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



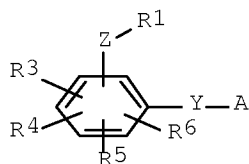
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2002:122973 CAPLUS Full-text
 DN 136:167379
 TI Preparation of amidino-oxazines and derivatives as protease inhibitors
 IN Wang, Aihua; Lu, Tianbao; Tomczuk, Bruce E.; Soll, Richard M.; Spurlino,
 John C.; Bone, Roger F.
 PA 3-Dimensional Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 79 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

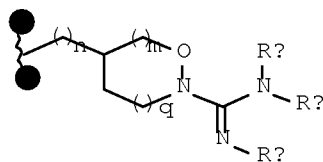
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WO 2002012207	A1	20020214	WO 2001-US24251	20010802 <--
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10/532,373 (amended)

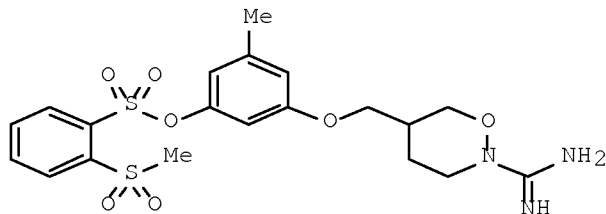
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 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
 VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2417914 A1 20020214 CA 2001-2417914 20010802 <--
 AU 2001077242 A 20020218 AU 2001-77242 20010802 <--
 US 20020022615 A1 20020221 US 2001-919815 20010802 <--
 US 6635637 B2 20031021
 EP 1307432 A1 20030507 EP 2001-955035 20010802
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004505956 T 20040226 JP 2002-518184 20010802
 MX 2003PA00963 A 20040405 MX 2003-PA963 20030131
 PRAI US 2000-223223P P 20000804
 WO 2001-US24251 W 20010802
 OS MARPAT 136:167379
 GI



I



II



III

AB Title compds. I [R1 = alk(en/yn)yl, cycloalkyl, aryl, aralkyl or heteroaryl; Z = OSO₂, SO₂O, alkoxy, etc.; R3-6 = H, alk(en/yn)yl, cycloalkyl, (hetero)aryl, aralkyl, trifluoromethyl, halo, etc.; Y = O, aza, S, alkyl or a covalent bond; A = II and derivs. thereof; Ra-c = H, alkyl, hydroxy, alkoxy, aryloxy, aralkoxy, alkoxycarbonyloxy, cyano, carboxy; n, m and q = 0-4 provided that n, m, and q are not all zero] were prepared For instance, diethylmalonate was converted to tert-Bu 5-(hydroxymethyl)tetrahydro-1,2-oxazin-2-carboxylate in 8 steps in 12% yield. This ester was coupled to 3-hydroxy-5-methylphenyl 2-(methylsulfonyl)benzenesulfonate (THF, Ph₃P, DEAD), the resulting adduct deprotected (CH₂Cl₂, TFA) and converted to III using N,N'-bis(tert-butoxycarbonyl)-1H-pyrazole-1-carboxamide followed by treatment with TFA. III had K_i = 7 nM for thrombin. I exhibit antithrombotic activity via selective inhibition of thrombin, or are intermediates useful for forming compds. having antithrombotic activity. I are also anticoagulants either embedded in or phys. linked to materials used in the manufacture of devices used in blood

collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents.

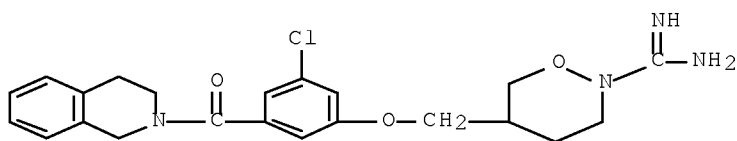
IT 396729-20-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of amidino-oxazines/cyclic guanidines and derivs. as protease inhibitors)

RN 396729-20-7 CAPLUS

CN 2H-1,2-Oxazine-2-carboximidamide, 5-[[3-chloro-5-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]phenoxy]methyl]tetrahydro- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:713343 CAPLUS Full-text

DN 135:272894

TI Preparation of β -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- α

IN Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PA Dupont Pharmaceuticals Company, USA

SO PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DT Patent

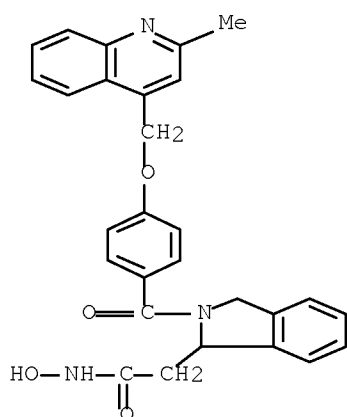
LA English

FAN.CNT 1

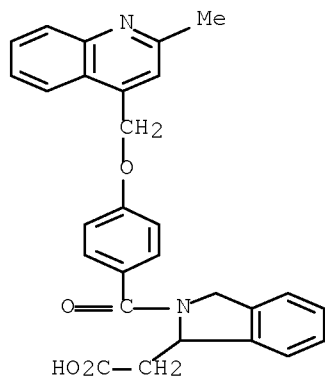
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070734	A2	20010927	WO 2001-US8336	20010315 <--
	WO 2001070734	A3	20020314		
	W:	AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
	CA 2400168	A1	20010927	CA 2001-2400168	20010315 <--
	AU 2001050850	A	20011003	AU 2001-50850	20010315 <--
	EP 1263756	A2	20021211	EP 2001-924171	20010315
	EP 1263756	B1	20040225		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR			
	BR 2001009469	A	20030429	BR 2001-9469	20010315
	JP 2003528097	T	20030924	JP 2001-568935	20010315
	AT 260272	T	20040315	AT 2001-924171	20010315
	NZ 521245	A	20040430	NZ 2001-521245	20010315
	ES 2215893	T3	20041016	ES 2001-924171	20010315
	US 20020013341	A1	20020131	US 2001-811116	20010316 <--

10/532,373 (amended)

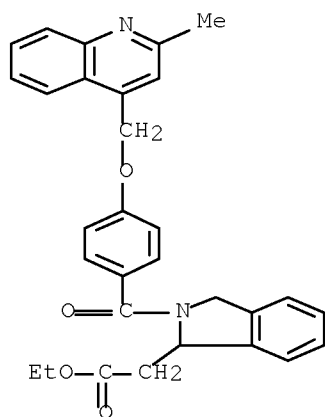
	US 6495565	B2	20021217		
	IN 2002MN01075	A	20050304	IN 2002-MN1075	20020808
	HK 1049334	A1	20040716	HK 2003-101437	20030226
PRAI	US 2000-190183P	P	20000317		
	US 2000-235467P	P	20000926		
	US 2000-252062P	P	20001120		
	WO 2001-US8336	W	20010315		
OS	MARPAT 135:272894				
AB	<p>Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO₂H, SH, CH₂SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)₂, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRal [Ral = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ral may form a ring], CO, CO₂, O₂C, CONRal, S(O)p (p = 0-2), etc.; Ya is absent or O, NRal, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRal)r10(CRaRal)r-Q (r, r1 = 0-4), (CRaRal)r1NRA(CRaRal)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRal)r10(CRaRal)r-Q1, (CRaRal)r1NRA(CRaRal)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.</p>				
IT	<p>362697-24-3P 362697-25-4P</p> <p>RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)</p> <p>(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)</p>				
RN	362697-24-3 CAPLUS				
CN	<p>1H-Isoindole-1-acetamide, 2,3-dihydro-N-hydroxy-2-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]- (CA INDEX NAME)</p>				



RN	362697-25-4 CAPLUS		
CN	<p>1H-Isoindole-1-acetic acid, 2,3-dihydro-2-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]- (CA INDEX NAME)</p>		



IT 362703-11-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of β -amino acid derivs. as inhibitors of matrix
 metalloproteases and TNF- α)
 RN 362703-11-5 CAPLUS
 CN 1H-Isoindole-1-acetic acid, 2,3-dihydro-2-[4-[(2-methyl-4-
 quinolinyl)methoxy]benzoyl]-, ethyl ester (CA INDEX NAME)

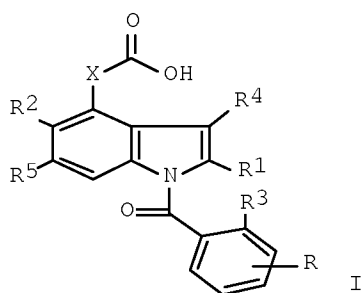


L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2001:676748 CAPLUS Full-text
 DN 135:242135
 TI Preparation process of indole derivatives and use thereof as DP receptor
 antagonists
 IN Torisu, Kazuhiko; Kobayashi, Kaoru; Nambu, Fumio
 PA Ono Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 277 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/532,373 (amended)

PI WO 2001066520 A1 20010913 WO 2001-JP1817 20010308 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2402174 A1 20010913 CA 2001-2402174 20010308 <--
AU 2001041068 A 20010917 AU 2001-41068 20010308 <--
EP 1262475 A1 20021204 EP 2001-912193 20010308
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 2003001493 A2 20030828 HU 2003-1493 20010308
BR 2001009050 A 20040427 BR 2001-9050 20010308
NZ 521192 A 20050128 NZ 2001-521192 20010308
RU 2259998 C2 20050910 RU 2002-123882 20010308
ZA 2002007031 A 20030306 ZA 2002-7031 20020902
NO 2002004281 A 20021108 NO 2002-4281 20020906
MX 2002PA08801 A 20030707 MX 2002-PA8801 20020909
US 20030176400 A1 20030918 US 2002-220806 20021213
US 6743793 B2 20040601
US 20040180885 A1 20040916 US 2004-793725 20040308
US 7098234 B2 20060829
PRAI JP 2000-64696 A 20000309
JP 2000-231857 A 20000731
WO 2001-JP1817 W 20010308
US 2002-220806 A3 20021213
OS CASREACT 135:242135; MARPAT 135:242135
GI



AB A process for preparing title compds. [I; R = 4-O(CH₂)₂CH₃, 4-O(CH₂)₄CH₃, 4-O(CH₂)₂C₆H₅, 4-O(CH₂)₃CH₃, 4-O(CH₂)₂CH(CH₃)₂, 4-O(CH₂)₂OCH₂CH₃, 4-OCH₂C₆H₅, 4-(CH₂)₂C₆H₅, 4-CH₃OC₆H₅(CH₂)₂O, 4-OCH₂CH₂OCH(CH₃)₂, 4-(4-CH₃OC₆H₄)CH₂O, 4-O(CH₂)₂SCH₂CH₃, 4-O(CH₂)₂C(CH₃)₃, 4-OCH₂C₆H₅, 4-OCH₂CH₃, 4-C₆H₅, 4-heterocyclylalkoxy, 3-O(CH₂)₂CH₃, 3-O(CH₂)₄CH₃, 4-heterocyclylcarbonylamino; R₁ = CH₃, H, CH₂CH₃; R₂ = H, OCH₃, CH₃; R₃ = H, OCH₃; R₄ = H, 4-CH₃OC₆H₄CH₂, CH₃, CH₂OCH₃; R₅ = H, OCH₃; X = CH₂, single bond, OCH₂, CH:CH, CH₂CH₂] as DP receptor antagonists are presented. Title compds. I, bind to DP receptor to exhibit antagonism, and therefore are useful in prevention and/or treatment of allergic diseases (such as allergic rhinitis, allergic conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mastocytosis, disorders

10/532,373 (amended)

due to systemic mastocyte activation, anaphylactic shock, tracheal constriction, urticaria, and eczema), diseases accompanied with itching (such as atopic dermatitis and urticaria), secondary diseases caused by scratching, beating or other behaviors attendant on itching (such as cataract, retinal detachment, inflammation, infection, and sleep disorder), inflammation, chronic obstructive lung disease, reflow disturbance occurring after the recovery from the ischemic conditions, cerebrovascular disease, pleuritis complicated by rheumatoid arthritis, ulcerative colitis, and other diseases. Thus, the title compound I (R = O(CH₂)₂C₆H₅; R₁ = CH₃; R₂ = H) was prepared

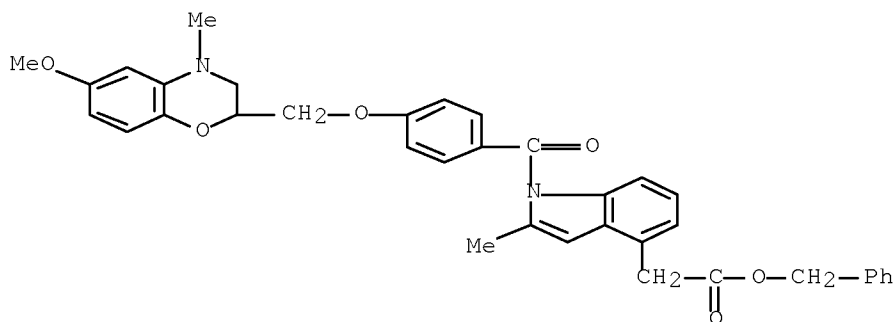
IT 359586-18-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation process of indole derivs. and use thereof as DP receptor antagonists)

RN 359586-18-8 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-6-methoxy-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)



IT 359582-85-7P 359582-96-0P 359583-02-1P
 359583-11-2P 359583-12-3P 359583-19-0P
 359583-63-4P 359583-83-8P 359583-84-9P
 359583-85-0P 359583-88-3P 359583-89-4P
 359583-93-0P 359584-06-8P 359584-07-9P
 359584-08-0P 359584-12-6P 359584-13-7P
 359584-18-2P 359584-20-6P 359584-23-9P
 359584-24-0P 359584-37-5P 359584-38-6P
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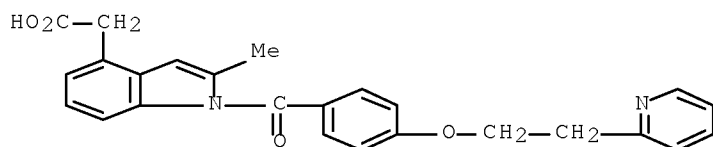
10/532,373 (amended)

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 359585-78-7P 359585-79-8P 359585-80-1P
 359585-81-2P 359585-82-3P 359585-83-4P
 359585-84-5P 359585-85-6P 359585-86-7P
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 359585-90-3P 359585-91-4P 359585-94-7P
 360580-84-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation process of indole derivs. and use thereof as DP receptor
 antagonists)

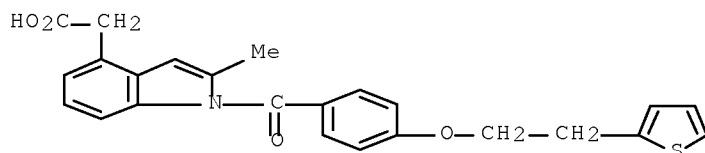
RN 359582-85-7 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(2-pyridinyl)ethoxy]benzoyl]-
 (CA INDEX NAME)



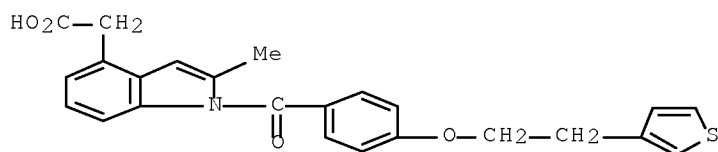
RN 359582-96-0 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(2-thienyl)ethoxy]benzoyl]- (CA
 INDEX NAME)



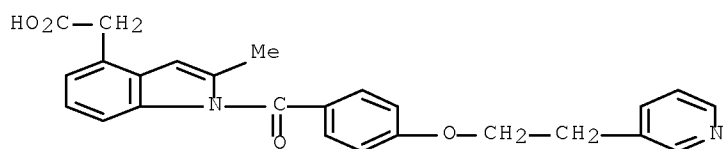
RN 359583-02-1 CAPLUS

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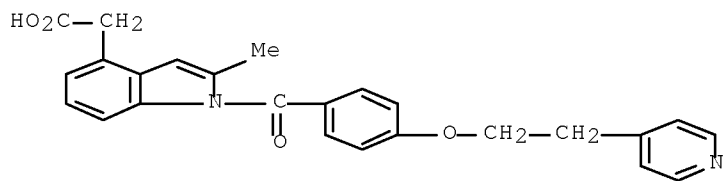


RN 359583-11-2 CAPLUS

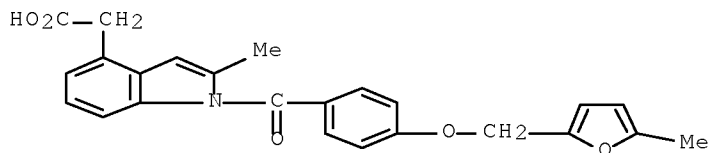
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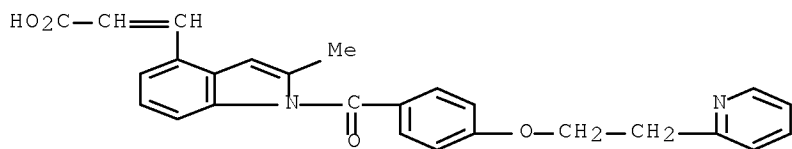
RN 359583-12-3 CAPLUS

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(CA INDEX NAME)

RN 359583-19-0 CAPLUS

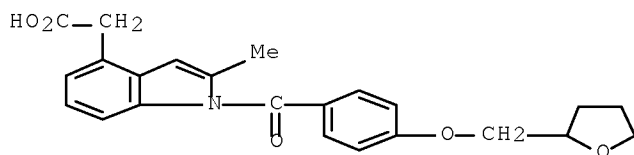
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(5-methyl-2-furanyl)methoxy]benzoyl]-
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RN 359583-63-4 CAPLUS

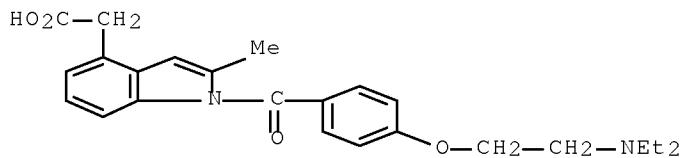
CN 2-Propenoic acid, 3-[2-methyl-1-[4-[2-(2-pyridinyl)ethoxy]benzoyl]-1H-indol-4-yl]-
(CA INDEX NAME)

RN 359583-83-8 CAPLUS

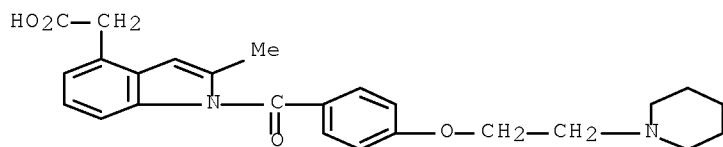
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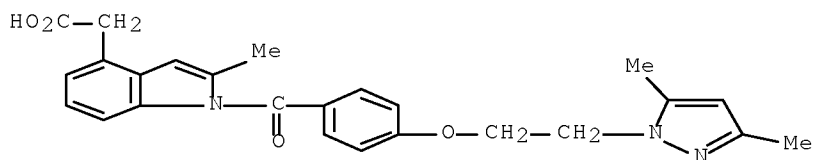
RN 359583-84-9 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[2-(diethylamino)ethoxy]benzoyl]-2-methyl-
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RN 359583-85-0 CAPLUS

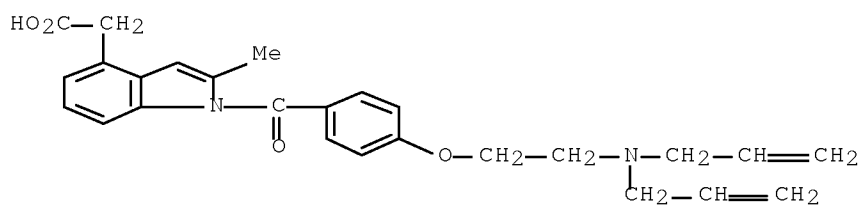
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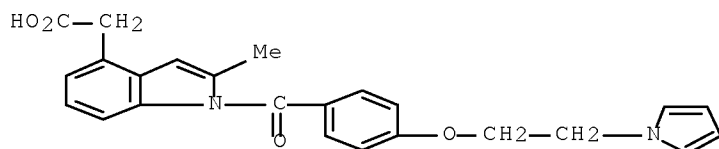
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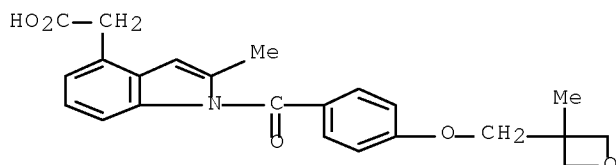
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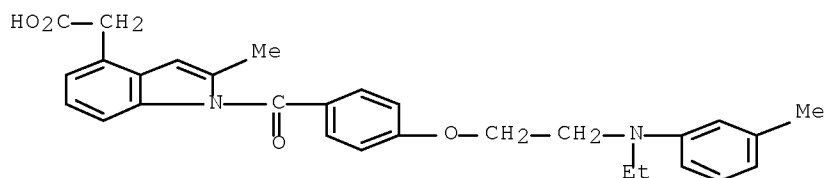
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RN 359584-06-8 CAPLUS

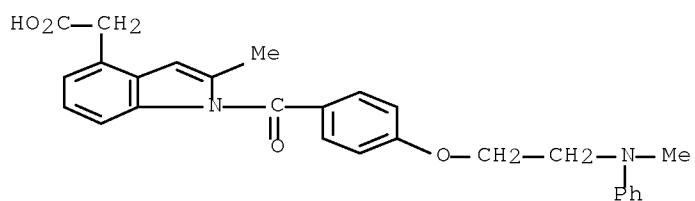
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RN 359584-07-9 CAPLUS

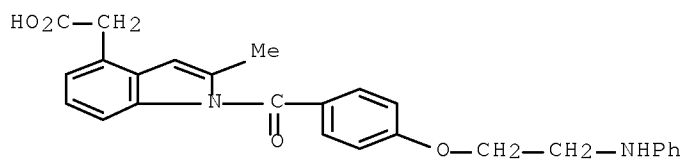
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RN 359584-08-0 CAPLUS

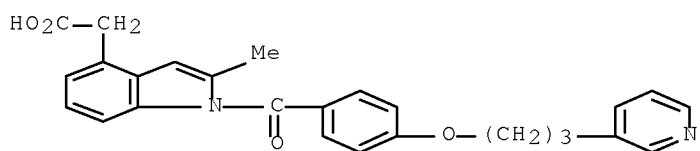
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(methylphenylamino)ethoxy]benzoyl]-
(CA INDEX NAME)



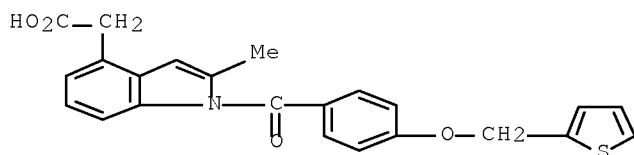
RN 359584-12-6 CAPLUS

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(CA INDEX NAME)

RN 359584-13-7 CAPLUS

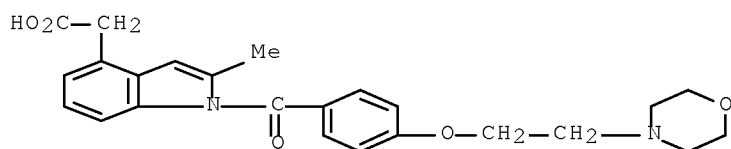
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(CA INDEX NAME)

RN 359584-18-2 CAPLUS

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INDEX NAME)

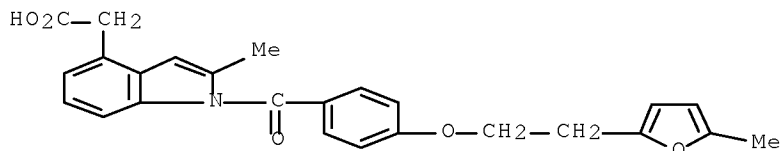
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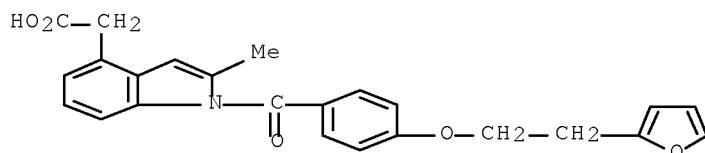
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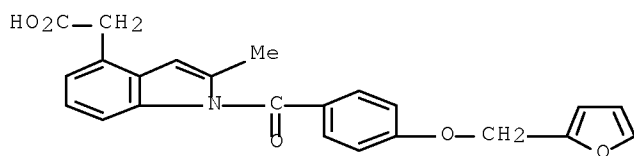
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CN 1H-Indole-4-acetic acid, 1-[4-[2-(2-furanyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



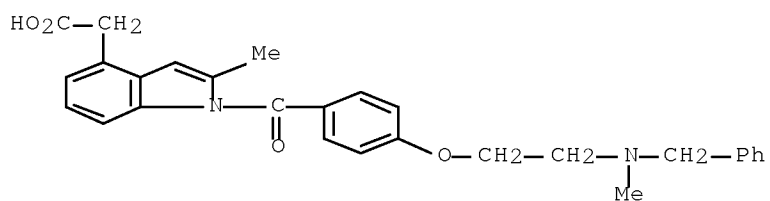
RN 359584-37-5 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-(2-furanylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



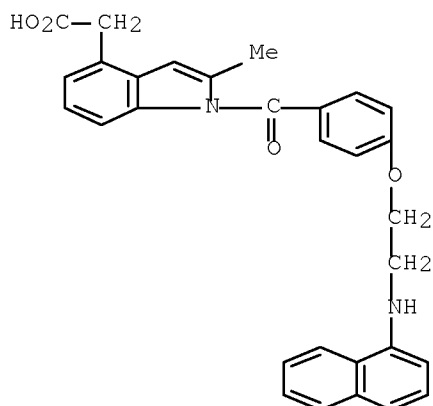
RN 359584-38-6 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-[methyl(phenylmethyl)amino]ethoxy]benzoyl]- (CA INDEX NAME)



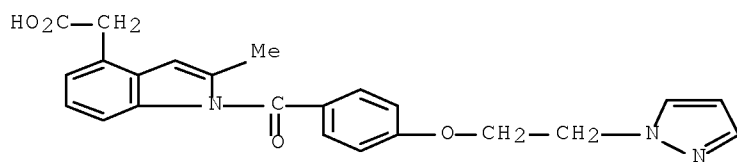
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CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(1-naphthalenylamino)ethoxy]benzoyl]- (CA INDEX NAME)



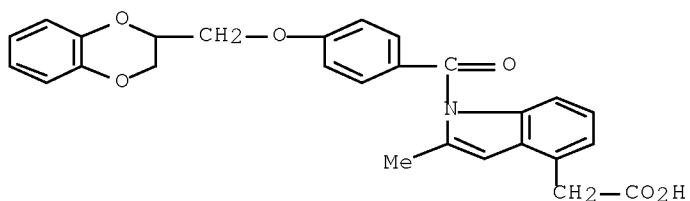
RN 359584-45-5 CAPLUS

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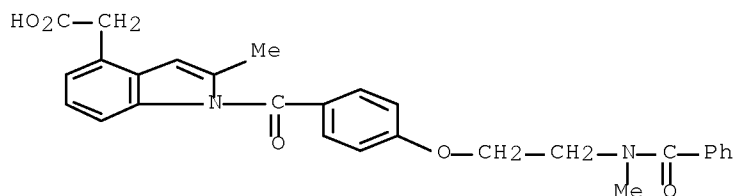
RN 359584-50-2 CAPLUS

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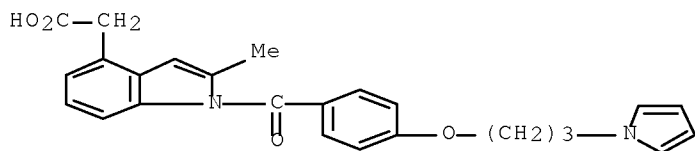
RN 359584-56-8 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[2-(benzoylmethylamino)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



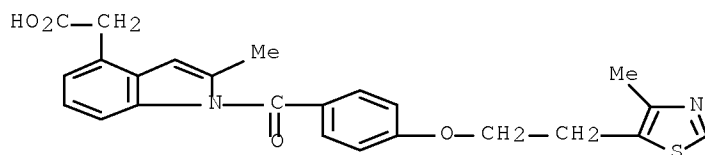
RN 359584-58-0 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[3-(1H-pyrrol-1-yl)propoxy]benzoyl]- (CA INDEX NAME)



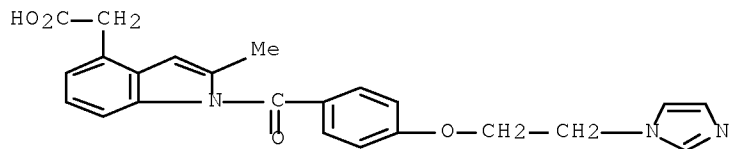
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CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(4-methyl-5-thiazolyl)ethoxy]benzoyl]- (CA INDEX NAME)



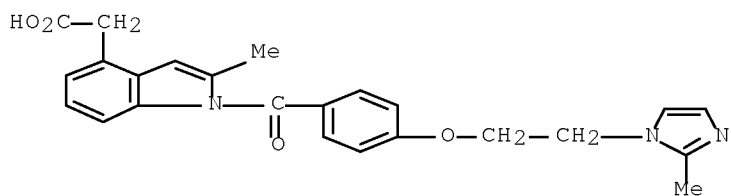
RN 359584-75-1 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



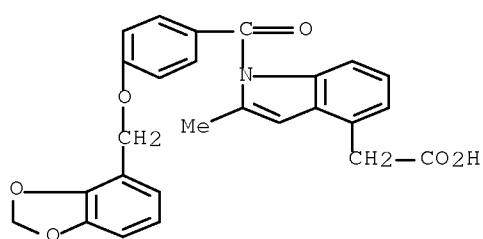
RN 359584-76-2 CAPLUS

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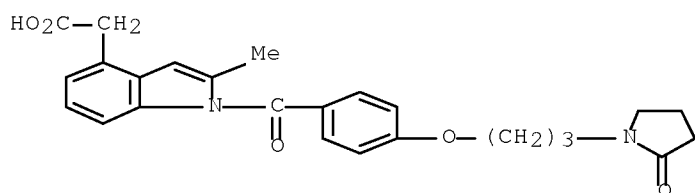
RN 359584-77-3 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-(1,3-benzodioxol-4-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



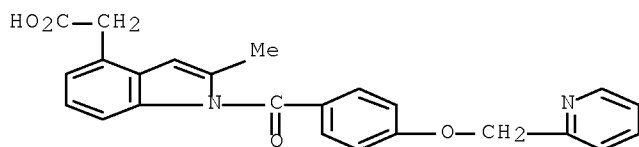
RN 359584-79-5 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[3-(2-oxo-1-pyrrolidinyl)propoxy]benzoyl]- (CA INDEX NAME)



RN 359584-80-8 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-pyridinylmethoxy)benzoyl]- (CA INDEX NAME)

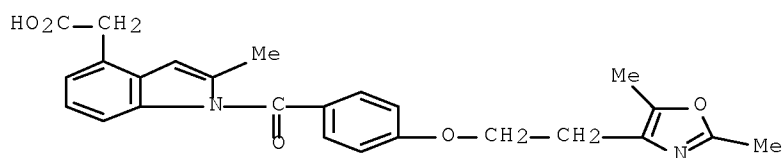


RN 359584-92-2 CAPLUS

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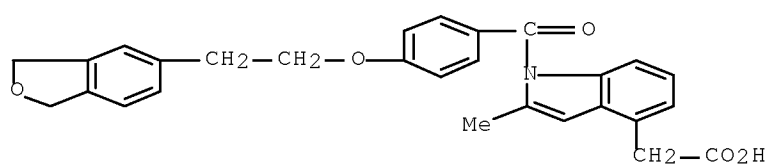
10/532,373 (amended)

2-methyl- (CA INDEX NAME)



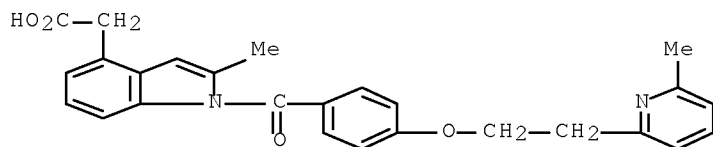
RN 359584-95-5 CAPLUS

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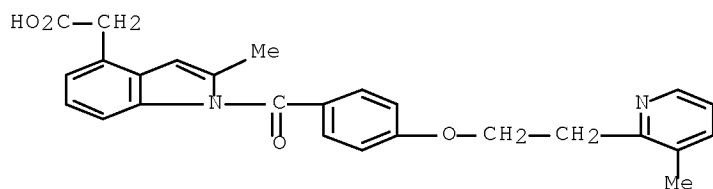
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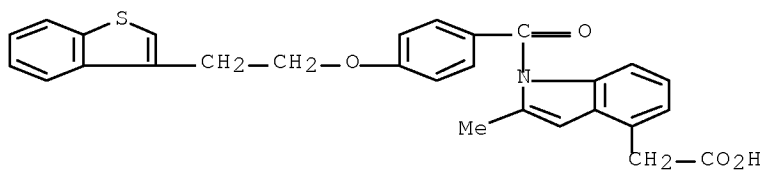
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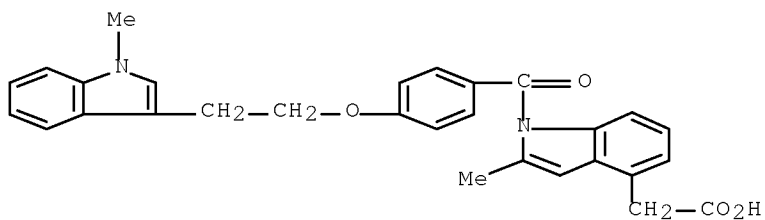
RN 359585-00-5 CAPLUS

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RN 359585-07-2 CAPLUS

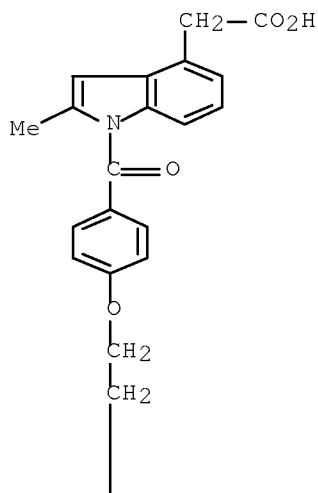
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(1-methyl-1H-indol-3-yl)ethoxy]benzoyl]- (CA INDEX NAME)



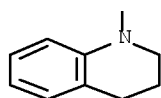
RN 359585-09-4 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[2-(3,4-dihydro-1(2H)-quinolinyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)

PAGE 1-A

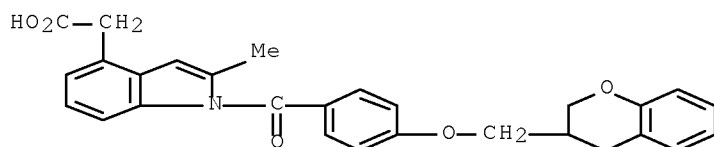


PAGE 2-A



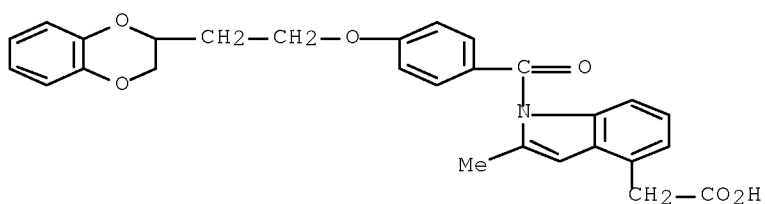
RN 359585-13-0 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1-benzopyran-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



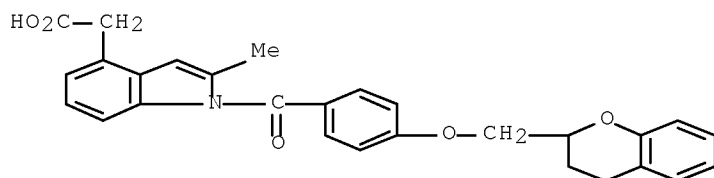
RN 359585-15-2 CAPLUS

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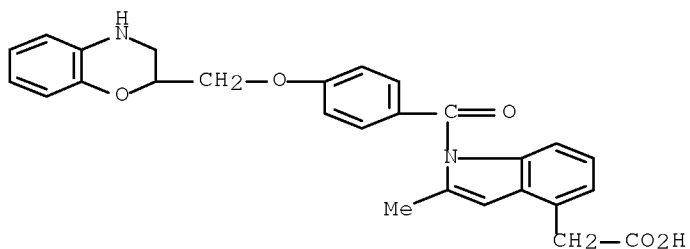
RN 359585-16-3 CAPLUS

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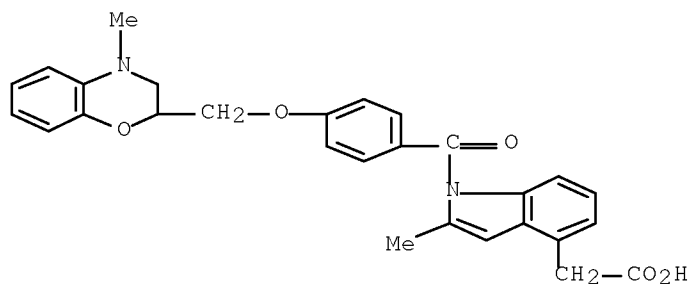
RN 359585-17-4 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



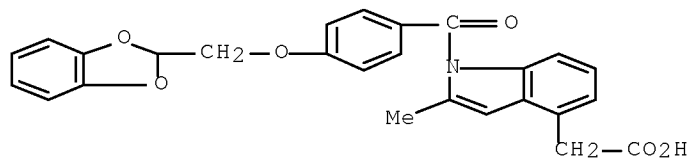
RN 359585-18-5 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



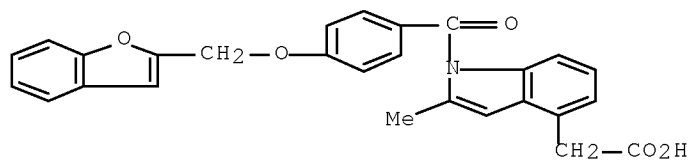
RN 359585-19-6 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-(1,3-benzodioxol-2-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



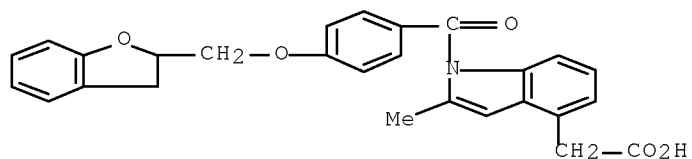
RN 359585-20-9 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-(2-benzofuranylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



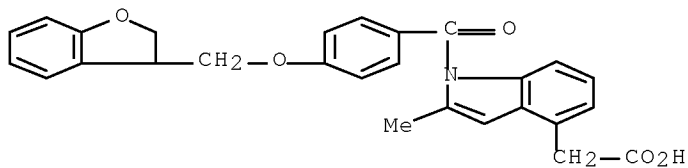
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CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-2-benzofuranyl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



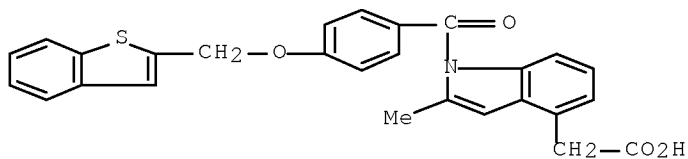
RN 359585-23-2 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-3-benzofuranyl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



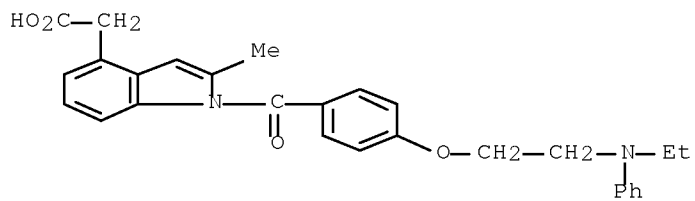
RN 359585-27-6 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-(benzo[b]thien-2-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-29-8 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[2-(ethylphenylamino)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



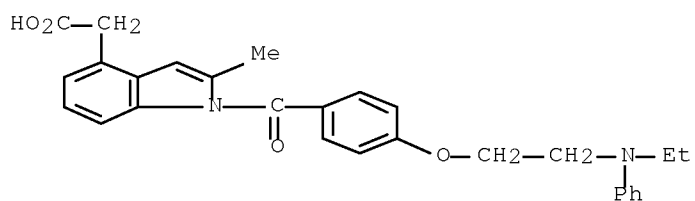
RN 359585-30-1 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[2-(ethylphenylamino)ethoxy]benzoyl]-2-methyl-, monoacetate (9CI) (CA INDEX NAME)

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CRN 359585-29-8

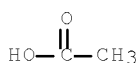
CMF C28 H28 N2 O4



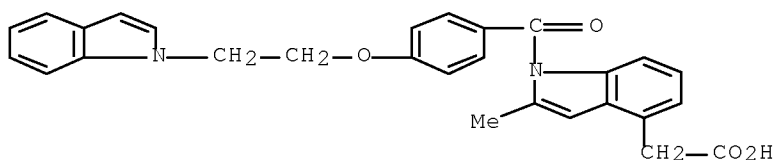
CM 2

CRN 64-19-7

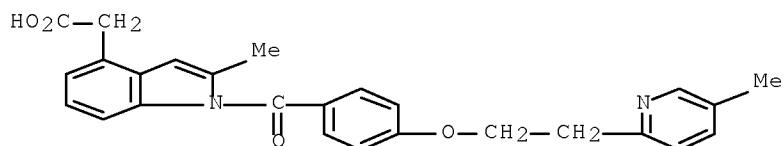
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RN 359585-31-2 CAPLUS

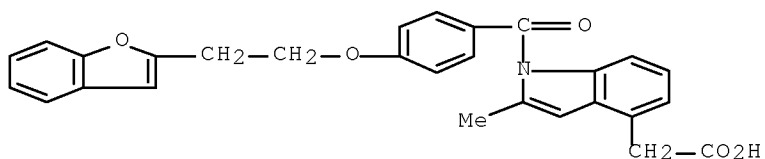
CN 1H-Indole-4-acetic acid, 1-[4-[2-(1H-indol-1-yl)ethoxy]benzoyl]-2-methyl-
(CA INDEX NAME)

RN 359585-32-3 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(5-methyl-2-pyridinyl)ethoxy]benzoyl]-
(CA INDEX NAME)

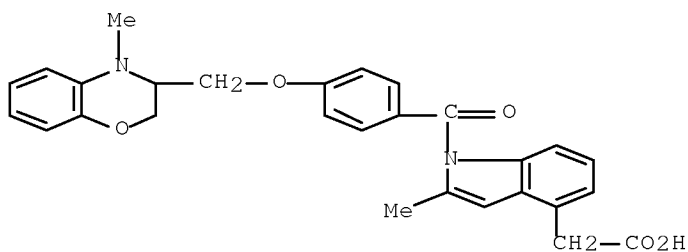
RN 359585-33-4 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[2-(2-benzofuranyl)ethoxy]benzoyl]-2-methyl-
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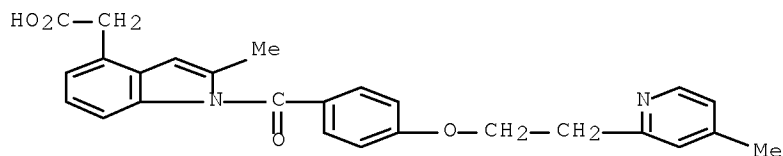
RN 359585-34-5 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4-methyl-2H-1,4-benzoxazin-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



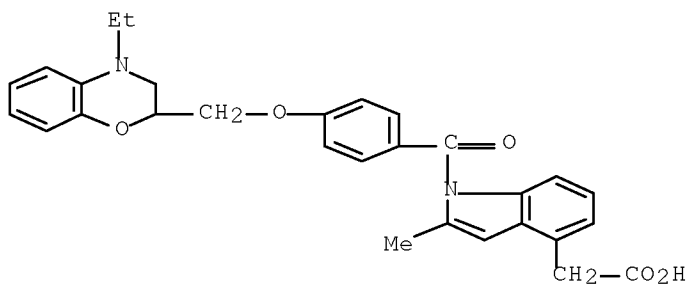
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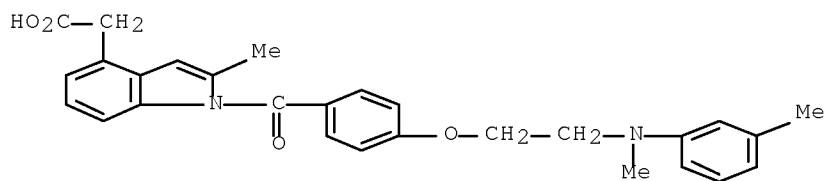
RN 359585-37-8 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(4-ethyl-3,4-dihydro-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



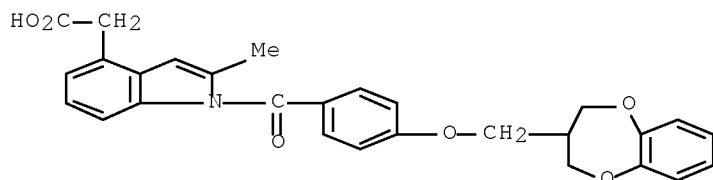
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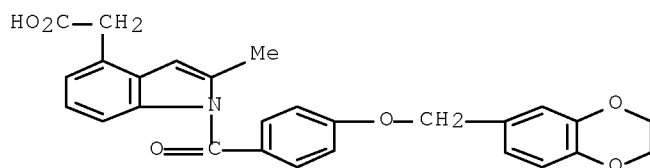
RN 359585-40-3 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1,5-benzodioxepin-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



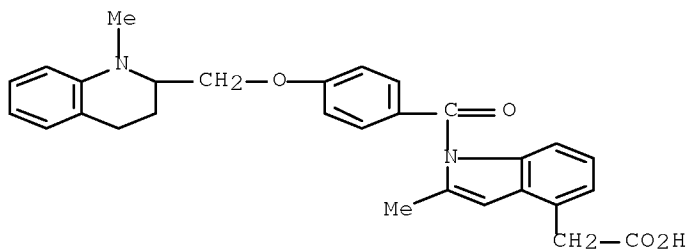
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CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



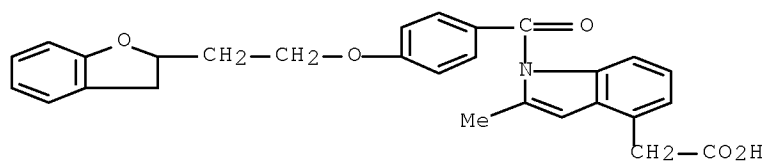
RN 359585-43-6 CAPLUS

CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(1,2,3,4-tetrahydro-1-methyl-2-quinolinyl)methoxy]benzoyl]- (CA INDEX NAME)



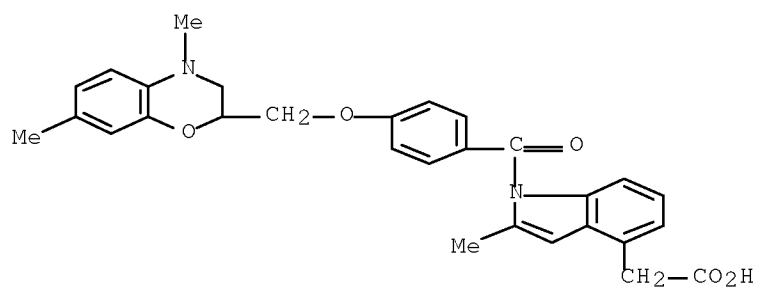
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CN 1H-Indole-4-acetic acid, 1-[4-[2-(2,3-dihydro-2-benzofuranyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



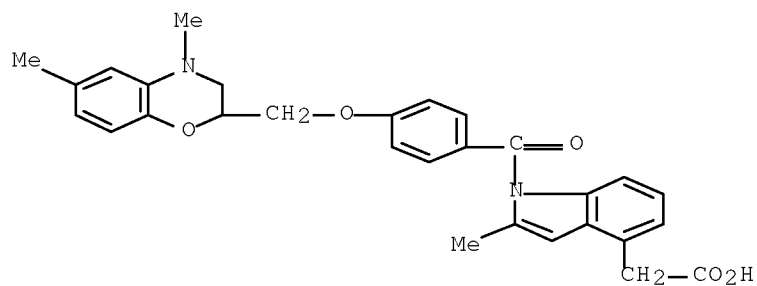
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CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



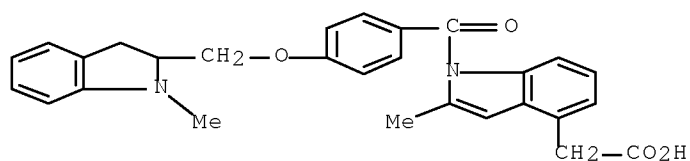
RN 359585-46-9 CAPLUS

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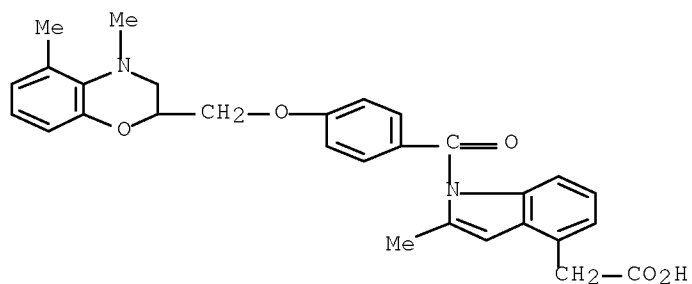
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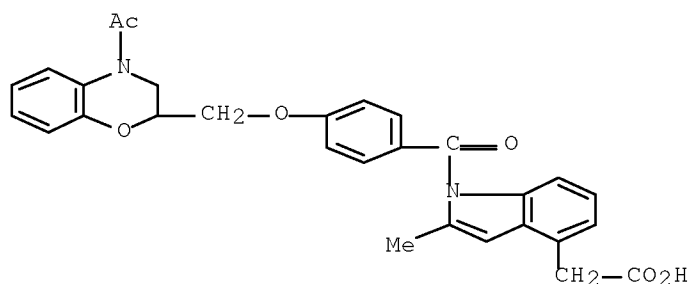
RN 359585-48-1 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,5-dimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



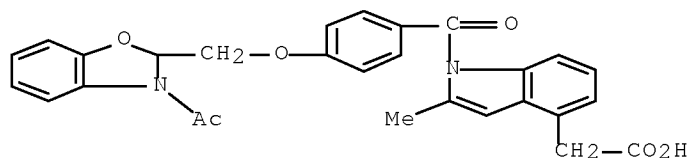
RN 359585-49-2 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(4-acetyl-3,4-dihydro-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



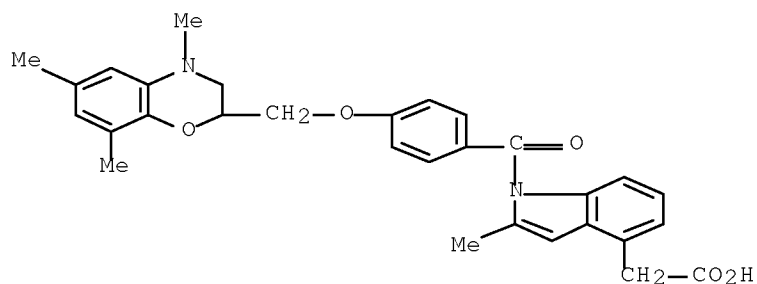
RN 359585-50-5 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3-acetyl-2,3-dihydro-2-benzoxazolyl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



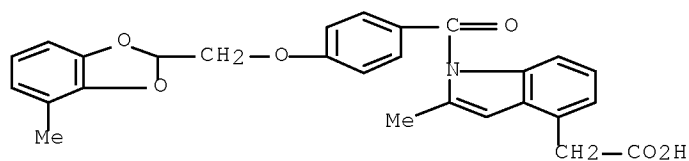
RN 359585-51-6 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,6,8-trimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



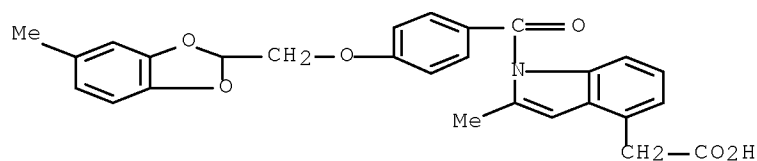
RN 359585-53-8 CAPLUS

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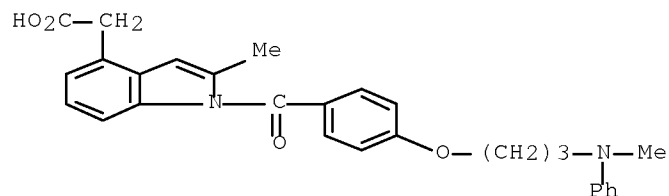
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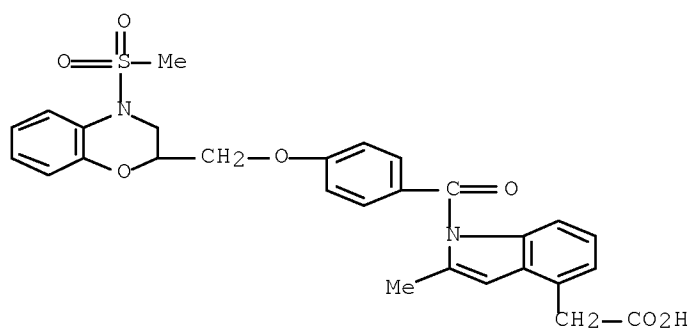
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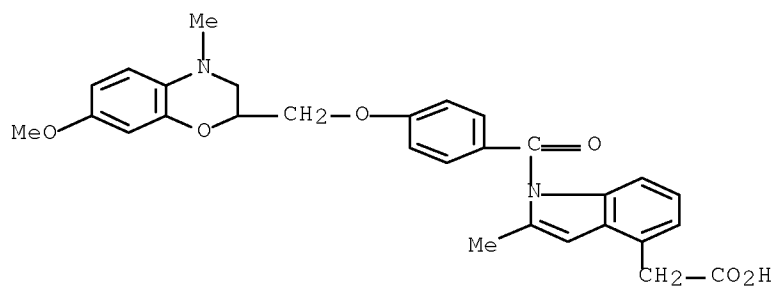
RN 359585-58-3 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[[3,4-dihydro-4-(methylsulfonyl)-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



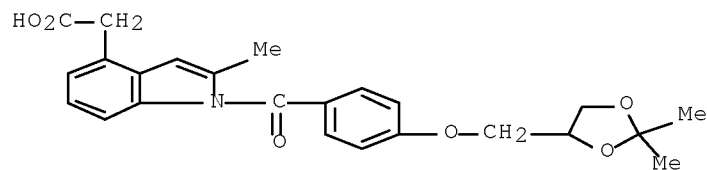
RN 359585-59-4 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-7-methoxy-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



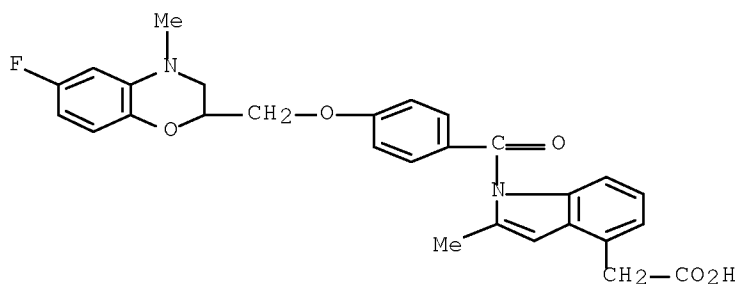
RN 359585-60-7 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(2,2-dimethyl-1,3-dioxolan-4-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



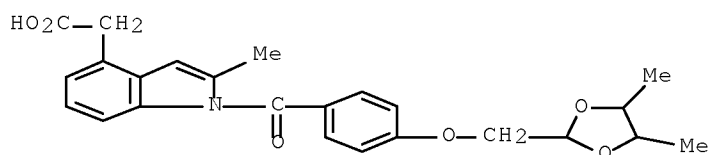
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CN 1H-Indole-4-acetic acid, 1-[4-[(6-fluoro-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



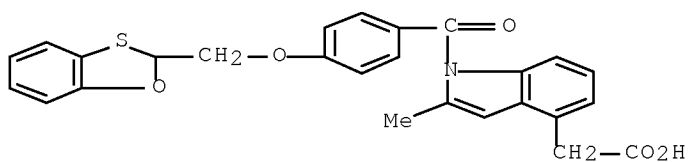
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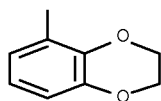
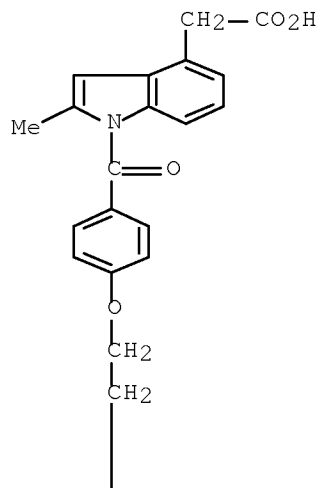
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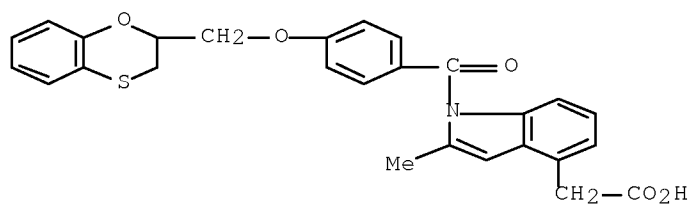
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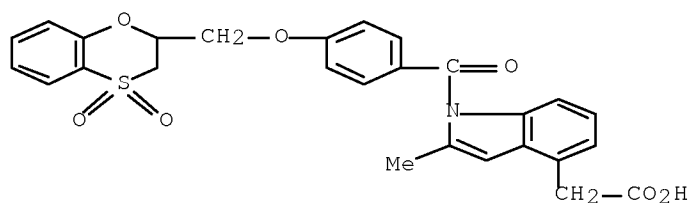
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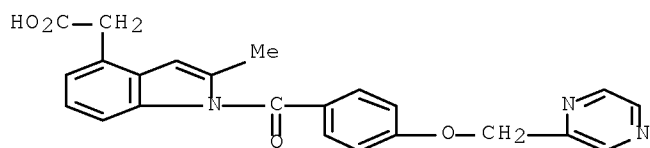
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CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-4,4-dioxido-1,4-benzoxathiin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



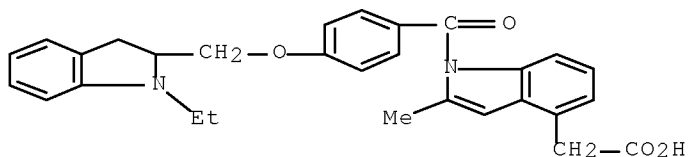
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CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-pyrazinylmethoxy)benzoyl]- (CA INDEX NAME)



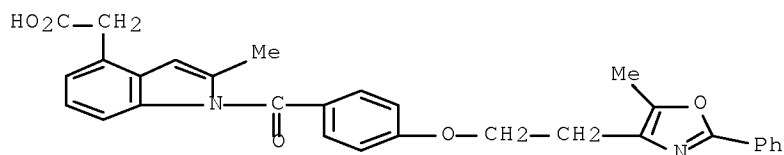
RN 359585-69-6 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(1-ethyl-2,3-dihydro-1H-indol-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



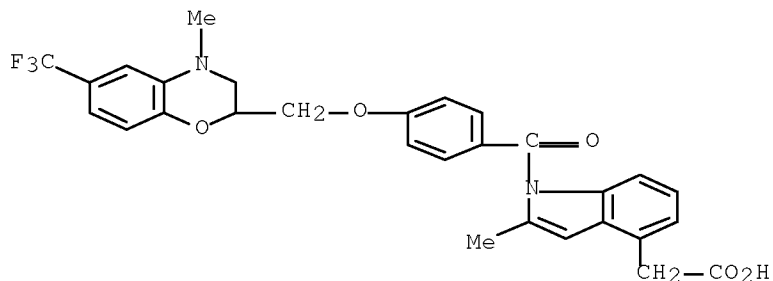
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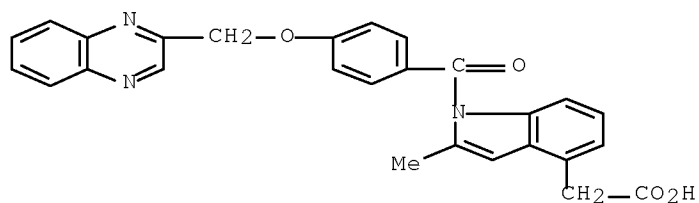


RN 359585-72-1 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[[3,4-dihydro-4-methyl-6-(trifluoromethyl)-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

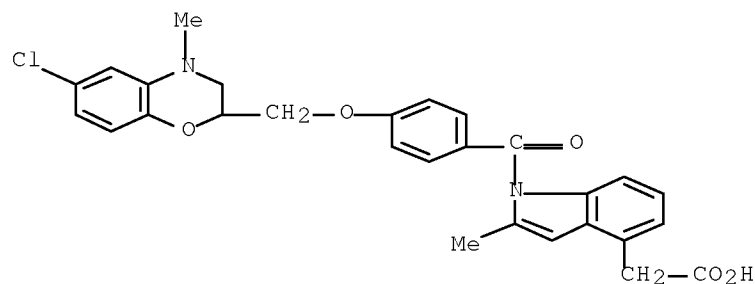


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CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-quinoxalinylmethoxy)benzoyl]-
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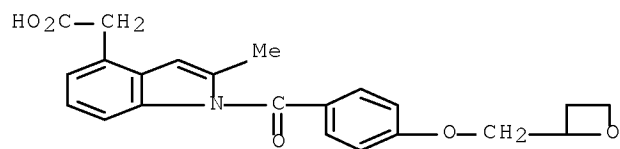
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CN 1H-Indole-4-acetic acid, 1-[4-[(6-chloro-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



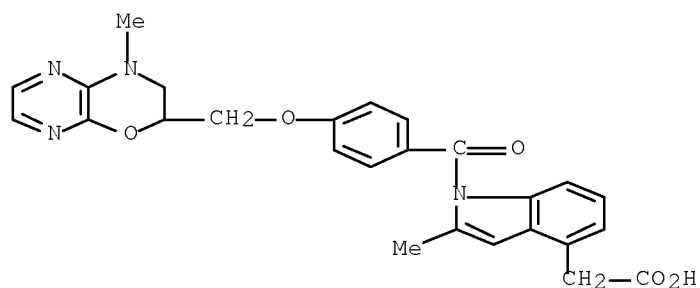
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CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-oxetanylmethoxy)benzoyl]- (CA INDEX NAME)



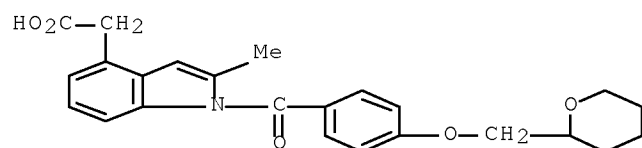
RN 359585-79-8 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4-methyl-2H-pyrazino[2,3-b]-1,4-oxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



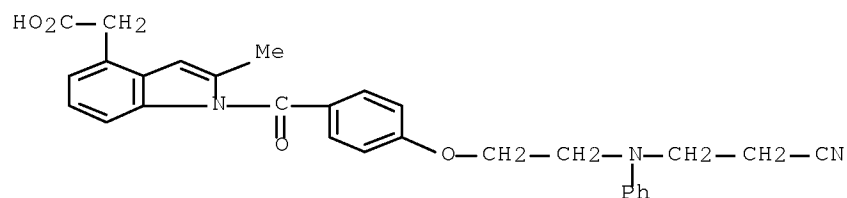
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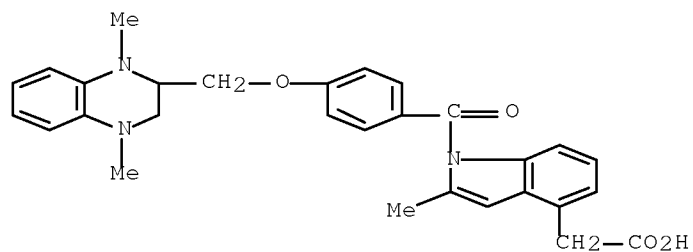
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RN 359585-82-3 CAPLUS

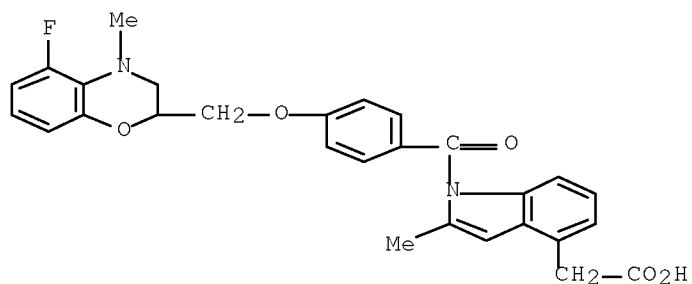
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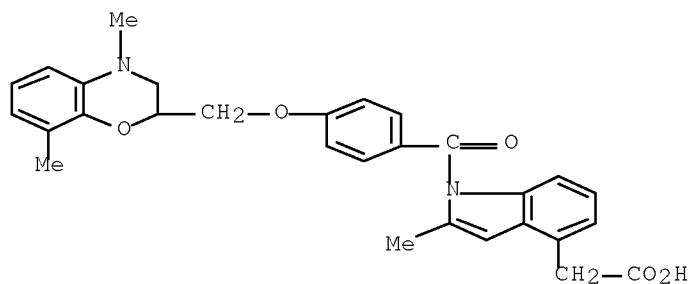
10/532,373 (amended)

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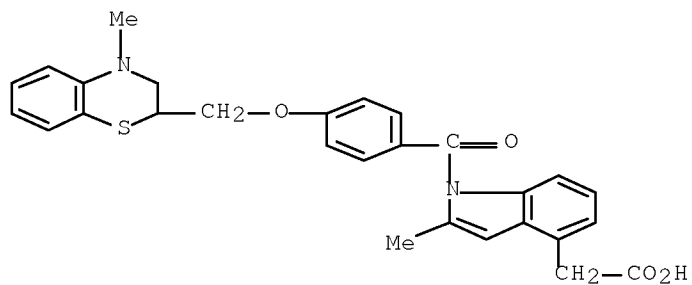
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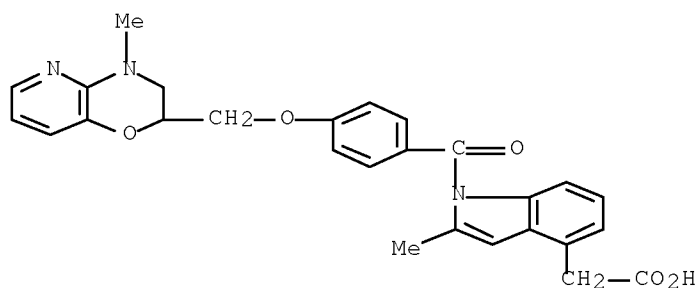
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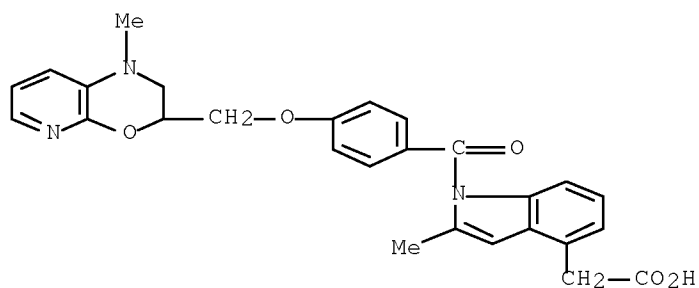
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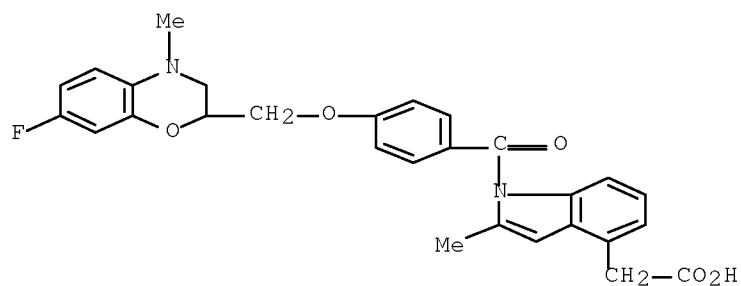
RN 359585-87-8 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



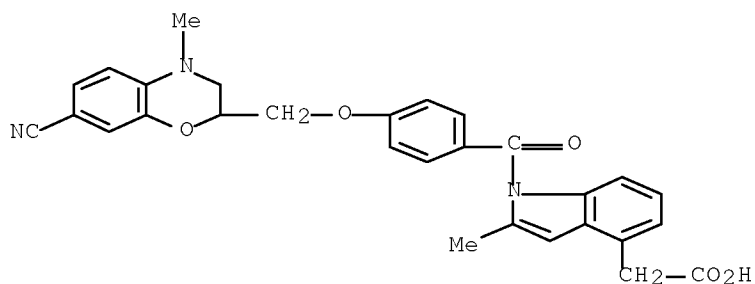
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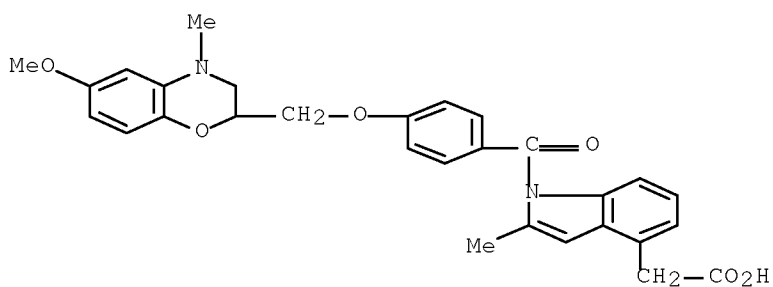
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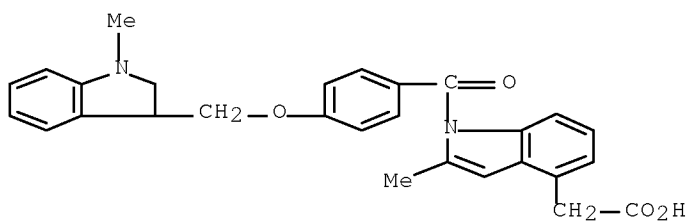
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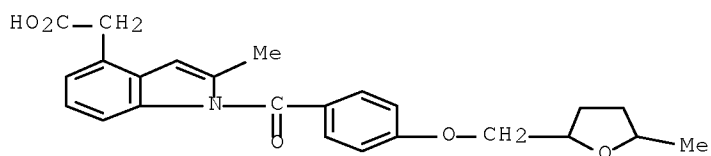
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RN 359585-94-7 CAPLUS

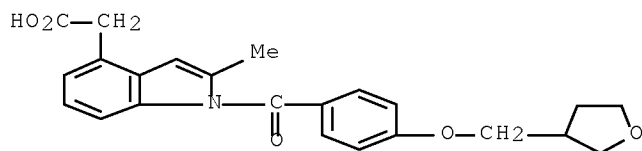
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RN 360580-84-3 CAPLUS

10/532,373 (amended)

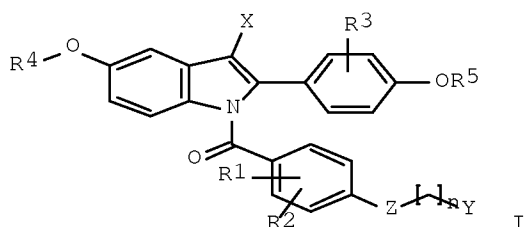
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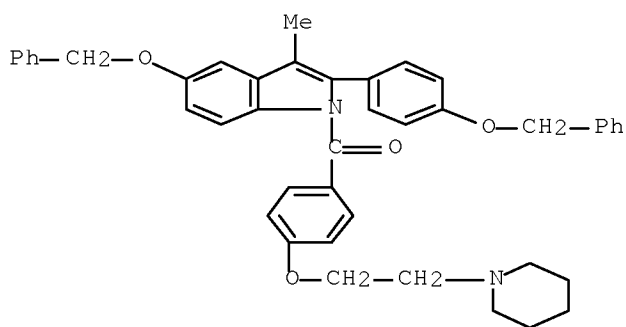
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:628118 CAPLUS Full-text
DN 133:222593
TI Preparation of N-(substituted)benzoyl indoles as estrogenic agents
IN Koko, Marci Catherine; Ullrich, John William; Santilli, Arthur Attilio
PA American Home Products Corporation, USA
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

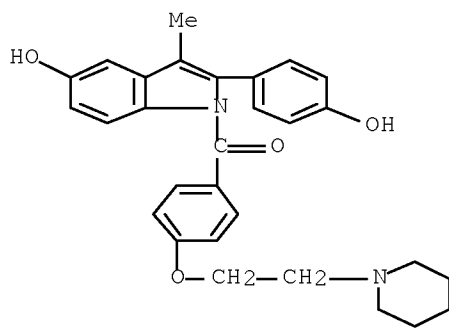
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051983	A1	20000908	WO 2000-US4386	20000222 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2364914	A1	20000908	CA 2000-2364914	20000222 <--
EP 1159268	A1	20011205	EP 2000-917652	20000222 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002538141	T	20021112	JP 2000-602211	20000222
MX 2001PA08911	A	20021023	MX 2001-PA8911	20010903
PRAI US 1999-262413	A	19990304		
WO 2000-US4386	W	20000222		
OS MARPAT 133:222593				
GI				



- AB The title compds. [I; R1-R3 = H, halo, alkoxy, etc.; R4, R5 = H, (un)substituted CH₂Ph; X = H, alkyl, CF₃; Z = O, S; n = 2-3; Y = N(alkyl)₂, pyrrolidino, piperidino, etc.], useful for treating or preventing disease states or syndromes which are caused or associated with an estrogen deficiency (such as bone loss) or an excess of estrogen, were prepared E.g., a 2-step synthesis of the indole I [R1-R5 = H; X = Me; Z = O; n = 2; Y = piperidino] which showed IC₅₀ of 2.0x10⁻⁷ M against estrogen receptor binding, was given.
- IT 291546-88-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of N-(substituted)benzoylindoles as estrogenic agents)
- RN 291546-88-8 CAPLUS
- CN 1H-Indole, 3-methyl-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



- IT 291546-89-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(substituted)benzoylindoles as estrogenic agents)
- RN 291546-89-9 CAPLUS
- CN 1H-Indol-5-ol, 2-(4-hydroxyphenyl)-3-methyl-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

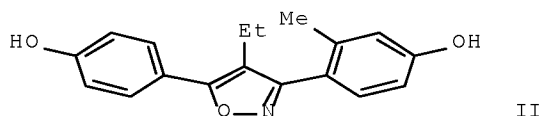
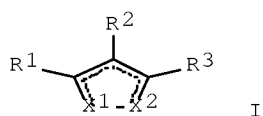


10/532,373 (amended)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:117034 CAPLUS Full-text
DN 132:166233
TI Preparation of substituted isoxazoles as estrogen receptor modulators
IN Huebner, Verena D.; Lin, Xiaodong; James, Ian; Chen, Liya; Desai, Manoj;
Moore, Jennifer C.; Krywult, Beata; Navaratnam, Thayalan; Singh, Rajinder;
Trainor, Rob; Wang, Liang
PA Chiron Corporation, USA
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000008001	A1	20000217	WO 1999-US17798	19990806 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9954676	A	20000228	AU 1999-54676	19990806 <--
	EP 1102755	A1	20010530	EP 1999-940916	19990806 <--
	EP 1102755	B1	20060104		
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	US 6262098	B1	20010717	US 1999-369748	19990806 <--
	JP 2002522425	T	20020723	JP 2000-563634	19990806 <--
	AT 315033	T	20060215	AT 1999-940916	19990806
	ES 2255294	T3	20060616	ES 1999-940916	19990806
	US 20010036956	A1	20011101	US 2001-833392	20010411 <--
	US 6387920	B2	20020514		
	US 20020111374	A1	20020815	US 2001-954039	20010918 <--
	US 20040034081	A9	20040219		
	US 6727273	B2	20040427		
	US 20030065012	A1	20030403	US 2002-134302	20020425
	US 6743815	B2	20040601		
	US 20040077701	A1	20040422	US 2003-461914	20030612
	US 20040102498	A1	20040527	US 2003-713621	20031113
	US 6869969	B2	20050322		
	US 39708	E1	20070626	US 2004-757347	20040113
PRAI	US 1998-95773P	P	19980807		
	US 1998-95772P	P	19980807		
	US 1999-369747	A3	19990806		
	US 1999-369748	A3	19990806		
	WO 1999-US17798	W	19990806		
	US 2001-833392	A1	20010411		
	US 2001-954039	A1	20010918		
	US 2002-134302	A1	20020425		
OS	MARPAT 132:166233				
GI					



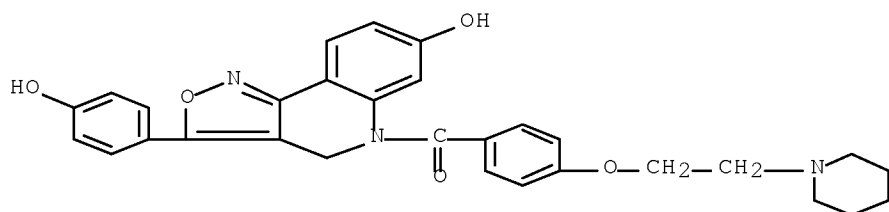
AB The title compds. [I; X1, X2 = N, O (if one of X1 and X2 = N, then the other of X1 and X2 = O to form an isoxazole); R1, R3 = alkyl, aryl, heteroaryl, etc.; R2 = H, halo, CN, etc.] which are estrogen receptor agonist and antagonist compds. having unexpected and surprising activity in modulating estrogen receptor activity, and therefore are useful in preventing or treating estrogen receptor-mediated disorders such as osteoporosis, breast and endometrial cancers, atherosclerosis, and Alzheimer's disease, were prepared E.g., a multi-step synthesis of II, starting with 2'-methyl-4'-methoxyacetophenone, was given. Biol. data for compds. I were presented.

IT 258860-05-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted isoxazoles as estrogen receptor modulators)

RN 258860-05-8 CAPLUS

CN Isoxazolo[4,3-c]quinolin-7-ol, 4,5-dihydro-3-(4-hydroxyphenyl)-5-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



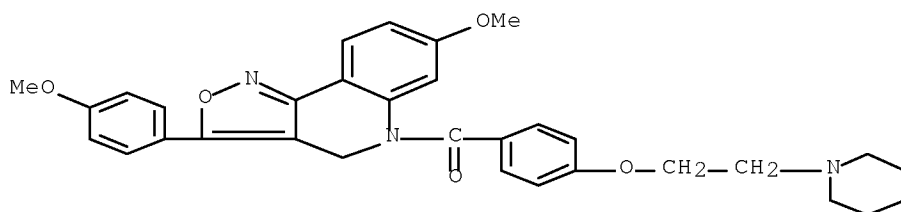
IT 258860-20-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted isoxazoles as estrogen receptor modulators)

RN 258860-20-7 CAPLUS

CN Isoxazolo[4,3-c]quinoline, 4,5-dihydro-7-methoxy-3-(4-methoxyphenyl)-5-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

10/532,373 (amended)

AN 1998:709058 CAPLUS Full-text
 DN 129:343423
 TI 2-Benzoyl-1,2,3,4-tetrahydroisoquinoline-3-carboxamide derivatives and
 their use as inhibitors of hepatic production of ApoB-100
 IN Daugan, Alain Claude-Marie; Pianetti, Pascal Maurice Charles
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9847877	A1	19981029	WO 1998-EP2244	19980420 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9875265	A	19981113	AU 1998-75265	19980420 <--
	IN 1998CA00672	A	20051202	IN 1998-CA672	19980420
PRAI	GB 1997-8119	A	19970422		
	WO 1998-EP2244	W	19980420		
OS	MARPAT 129:343423				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. I [wherein R0 = H, halo, C1-4 alkyl, C1-4 alkoxy, or methylenedioxy; n = 1-4; R1 = H, halo, C1-4 alkyl, C1-4 alkoxy, CF3O, or methylenedioxy; p = 1-4; R2 = H, halo, C1-4 alkyl, C1-4 alkoxy, methylenedioxy, NR4R5, -(C1-4 alkylene)-NR6R7, -NR4- or -O-(C1-4 alkylene)-NR8R9, 4-morpholino, or 4-R10-piperazin-1-yl, m = 1-4; R3 = H or C1-4 alkyl; R4-R10 = H or C1-4 alkyl] and their pharmaceutically acceptable salts or solvates, to processes for their preparation, and their use in the treatment of conditions mediated by ApoB-100 regulation. In particular, as inhibitors of hepatic ApoB-100 production, I are of use in treatment of pancreatitis, NIDDM, coronary heart disease, hyperlipidemia, and hypercholesterolemia. For instance, (+)-7-methyl-1,2,3,4-tetrahydronaphthalen-1-ylamine (resolution given) was coupled with 2-BOC-D-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid using EDC and HOBt, and the resultant amide was deprotected with CF3CO2H and coupled with 4-MeC6H4CO2H under similar conditions to give title compound II (+)-isomer. In a test for potency and selectivity, II inhibited production of ApoB-100 in HepG2 cells in vitro with an IC50 of 0.9 nM, but showed an IC50 of > 5000 nM toward ApoA-1 production in the same assay. Almost 50 compds. were prepared, and their stereo-unspecified forms were claimed. Approx. 60 intermediates were prepared, 7 compds. were bioassayed, and 21 pharmaceutical formulations were listed.

IT 215314-18-4P 215314-19-5P 215314-20-8P
 215314-27-5P 215314-31-1P 215314-32-2P
 215314-34-4P 215315-02-9P 215315-04-1P
 215315-05-2P 215315-09-6P 215315-13-2P
 215315-15-4P 215315-16-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

10/532,373 (amended)

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; preparation of benzoyltetrahydroisoquinolinecarboxamide derivs.

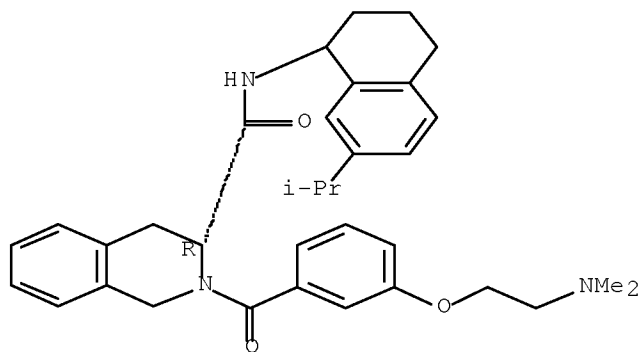
as

inhibitors of hepatic production of ApoB-100)

RN 215314-18-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)- (CA INDEX NAME)

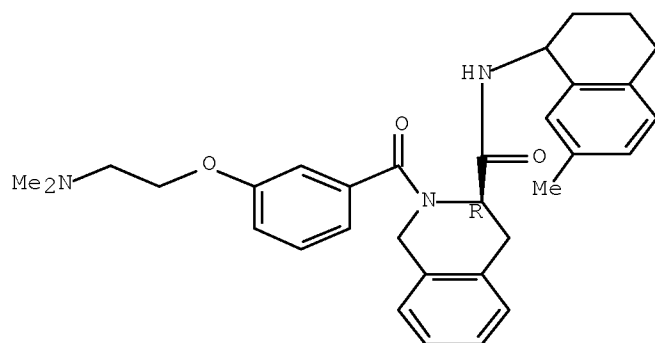
Absolute stereochemistry.



RN 215314-19-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (CA INDEX NAME)

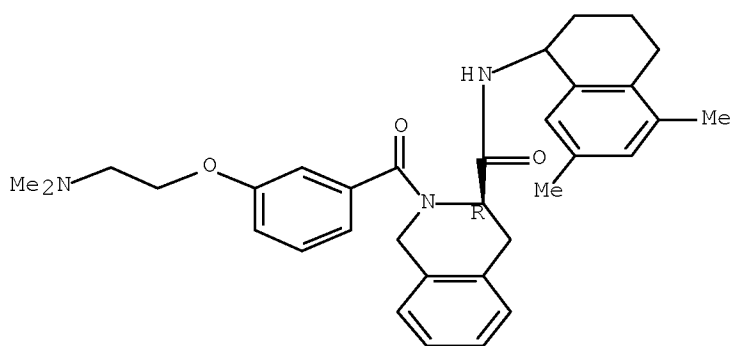
Absolute stereochemistry.



RN 215314-20-8 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)-, (3R)- (CA INDEX NAME)

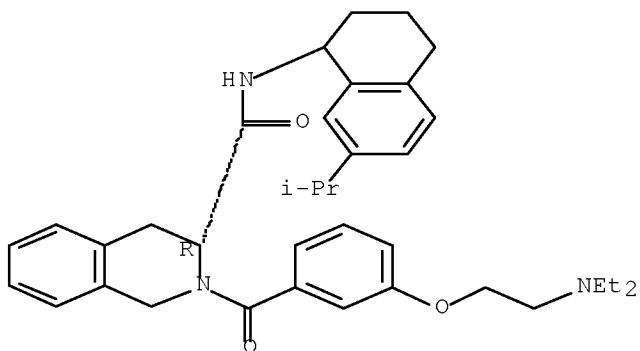
Absolute stereochemistry.



RN 215314-27-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(diethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)- (CA INDEX NAME)

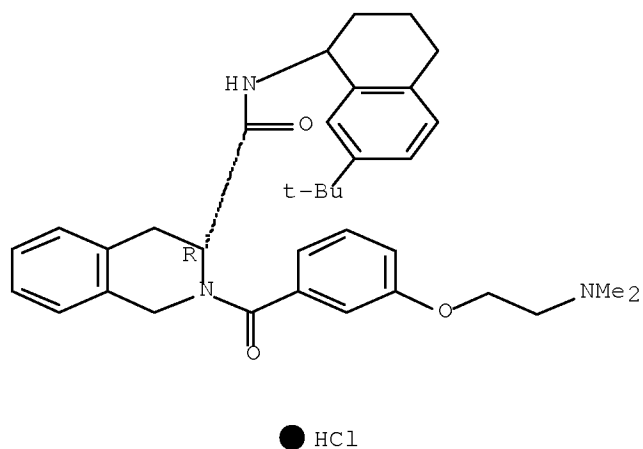
Absolute stereochemistry.



RN 215314-31-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

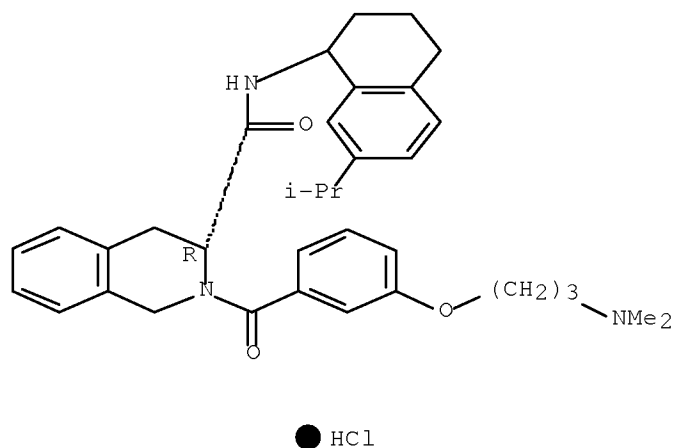
Absolute stereochemistry.



RN 215314-32-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[3-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

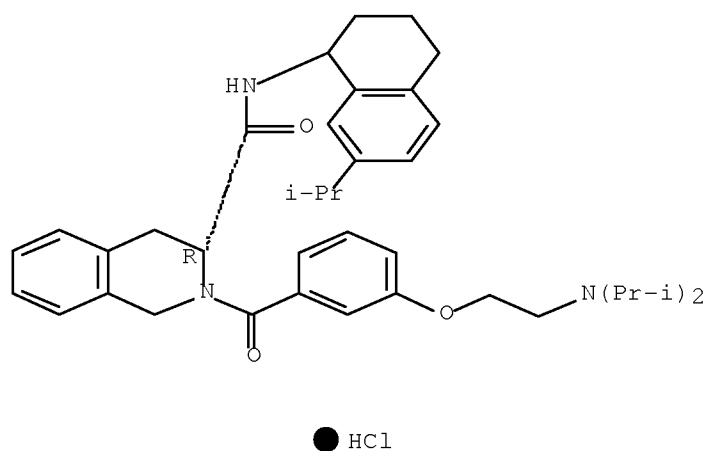
Absolute stereochemistry.



RN 215314-34-4 CAPLUS

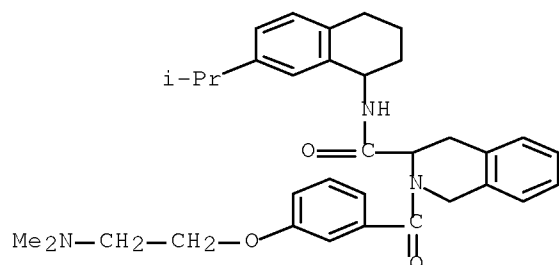
CN 3-Isoquinolinecarboxamide, 2-[3-[2-[bis(1-methylethyl)amino]ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



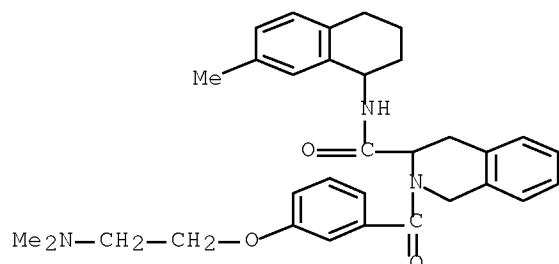
RN 215315-02-9 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



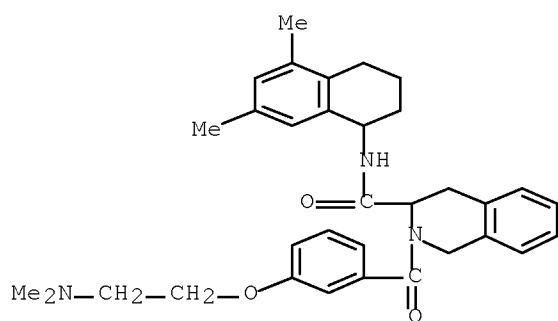
RN 215315-04-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (CA INDEX NAME)



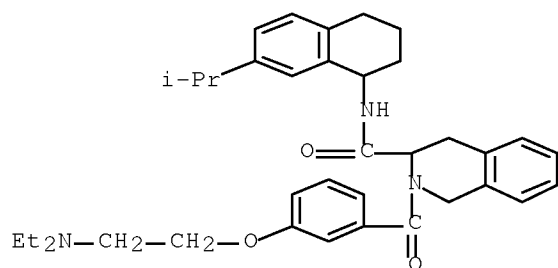
RN 215315-05-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)- (CA INDEX NAME)



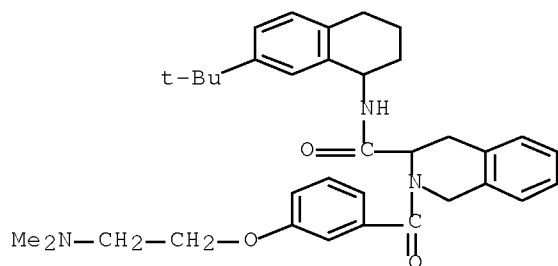
RN 215315-09-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(diethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



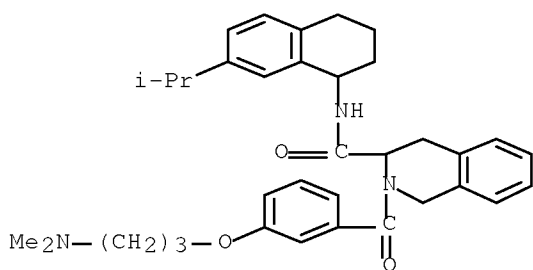
RN 215315-13-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



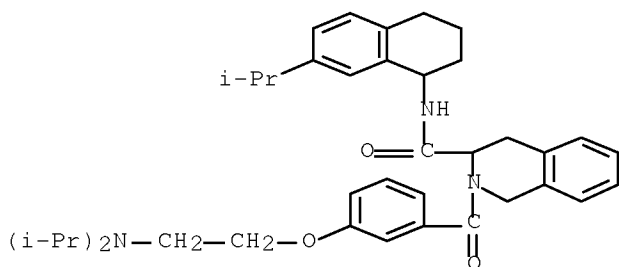
RN 215315-15-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[3-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



RN 215315-16-5 CAPLUS

CN 3-Isoquinolinecarboxamide, 2-[3-[2-[bis(1-methylethyl)amino]ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1998:621219 CAPLUS Full-text

DN 129:260346

TI Preparation of 4,5,6,7-tetrahydro-thieno[3,2-c]pyridines for the treatment
of diseases related to glucose metabolic pathways

IN Madsen, Peter; Lundbeck, Jane Marie; Westergaard, Niels; Naerum, Lars;
Varming, Annemarie Reinhardt; Demuth, Helle; Heide, Morten

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2

DT Patent

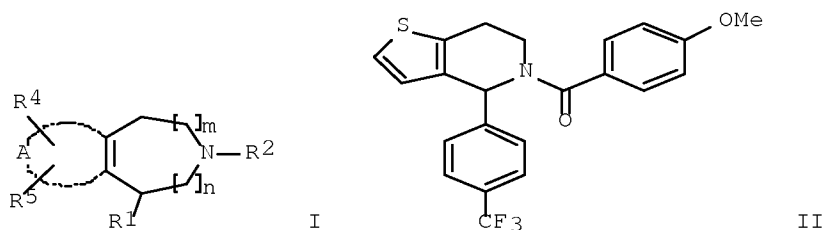
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9840385	A1	19980917	WO 1998-DK83	19980306 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6177443	B1	20010123	US 1998-35464	19980305 <--
AU 9862909	A	19980929	AU 1998-62909	19980306 <--

10/532,373 (amended)

EP 973778	A1	20000126	EP 1998-906858	19980306 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001514631	T	20010911	JP 1998-539099	19980306 <--
ZA 9801965	A	19980907	ZA 1998-1965	19980309 <--
IN 1998CA00372	A	20050708	IN 1998-CA372	19980309
PRAI DK 1997-249	A	19970307		
DK 1997-1365	A	19971127		
US 1997-41641P	P	19970327		
US 1997-67809P	P	19971208		
WO 1998-DK83	W	19980306		
OS MARPAT 129:260346				
GI				



AB The title compds. [I; A together with the double bond = benzene, thiophene, furan, etc.; R1 = (un)substituted C1-6 alkyl, aryl; R2 = (un)substituted C1-6 alkyl, aralkyl, COR3; R3 = (un)substituted C1-6 alkyl, aralkyl, aryl; R4, R5 = H, halo, perhalomethyl, etc.; n = 0-2; m = 0-2], which modulate the activity of mols. with glucose-6-phosphate recognition units, including glucose-6-phosphatases (G-6-Pases) in in vitro systems, microorganisms, eukaryotic cells, whole animals and human beings, and are useful in the treatment of diseases related to glucose metabolic pathways such as hyperglycemia, diabetes (preferably NIDDM), hypoglycemia, and glycogen storage disease, were prepared and formulated. Thus, reaction of 4-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine with p-anisoyl chloride in the presence of Et3N in CH2Cl2 afforded 100% the title compound II. Compds. I can be characterized by having a glucose-6-phosphatase inhibitory activity corresponding to an IC50 of < 100 μM, preferably < 10 μM, more preferably < 1 μM, still more preferably < 100 nM.

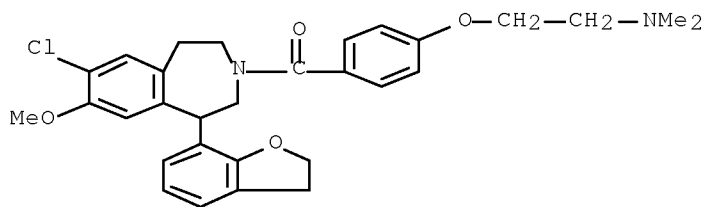
IT 213460-84-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,5,6,7-tetrahydro-thieno[3,2-c]pyridines for the treatment of diseases related to glucose metabolic pathways)

RN 213460-84-5 CAPLUS

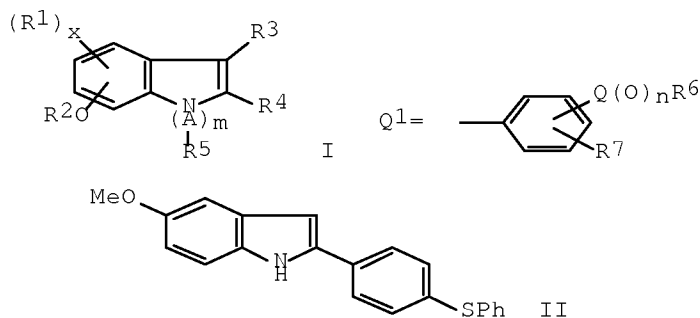
CN 1H-3-Benzazepine, 7-chloro-1-(2,3-dihydro-7-benzofuranyl)-3-[4-[2-(dimethylamino)ethoxy]benzoyl]-2,3,4,5-tetrahydro-8-methoxy- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:533962 CAPLUS Full-text
DN 121:133962
OREF 121:24217a,24220a
TI Preparation of indole derivatives as antiestrogenic agents
IN Inai, Masatoshi; Shibutani, Tadanao; Kanaya, Jun; Moritake, Masako;
Tanaka, Akie
PA Otsuka Pharmaceutical Factory, Inc., Japan
SO PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323374	A1	19931125	WO 1993-JP560	19930428 <--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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	AU 665690	B2	19960111		
	EP 639567	A1	19950222	EP 1993-911947	19930428 <--
	R: AT, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	US 5496844	A	19960305	US 1994-335833	19941108 <--
PRAI	JP 1992-116126	A	19920508		
	WO 1993-JP560	A	19930428		
OS	CASREACT 121:133962; MARPAT 121:133962				
GI					



10/532,373 (amended)

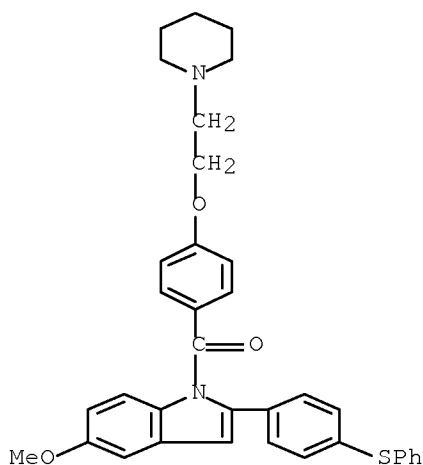
AB The title compds. I [R1 = halo; R2 = H, alkyl, alkanoyl, benzoyl; R3 = H, alkyl, halo; R4 = thienyl, Q1; R6 = alkyl, cycloalkyl, (substituted) Ph, etc.; R7 = H, allyl; Q = S, selenium; A = alkylene; m = 0, 1; when m = 0, R5 = H, alkyl, etc.; when m = 1, R5 = alkoxy carbonyl, CONR9R10, etc.; R9, R10 = H, alkyl, etc.; n = 0-2; x = 0-2] were prepared I are potent antiestrogenic agents and are useful in the treatment of anovular infertility, prostatomegaly, breast cancer, etc. A mixture of p-anisidine, p-(PhS)C6H4COCH2Br, and N,N-dimethylaniline was stirred at 170° for 3 h to give, after workup, title compound II. The relative binding affinity (RBA) values of the title compds. in an in vitro test using rat uterus cytoplasm and 3H-moxestrol were 41-121. RBA = IC50 of moxestrol/IC50 of title compound Formulations containing I are given.

IT 156803-52-0P 156803-53-1P 156803-54-2P
156803-55-3P 156803-56-4P 156803-58-6P
156803-59-7P 156803-60-0P 156803-61-1P
156803-62-2P 156803-63-3P 156803-64-4P
156803-65-5P 156803-66-6P 156803-67-7P
156803-90-6P 156803-92-8P 156803-93-9P
156803-94-0P 156803-96-2P 156803-99-5P
156804-00-1P 156804-01-2P 156804-02-3P
156804-18-1P 156804-19-2P 156804-20-5P
156804-21-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiestrogenic agent)

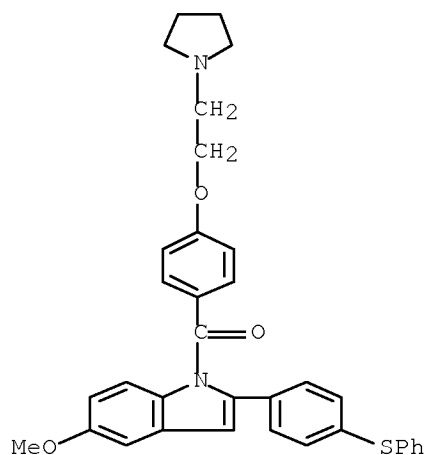
RN 156803-52-0 CAPLUS

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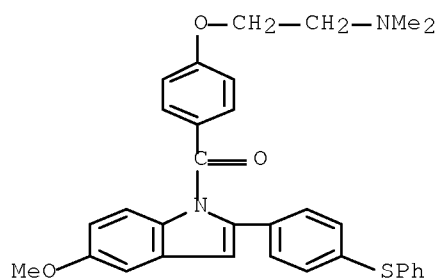
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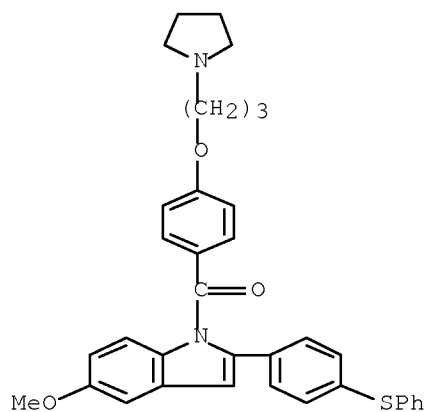
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RN 156803-55-3 CAPLUS

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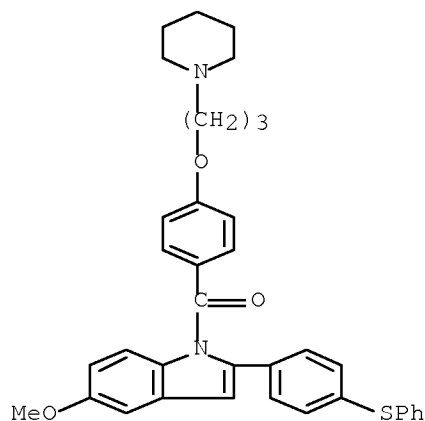


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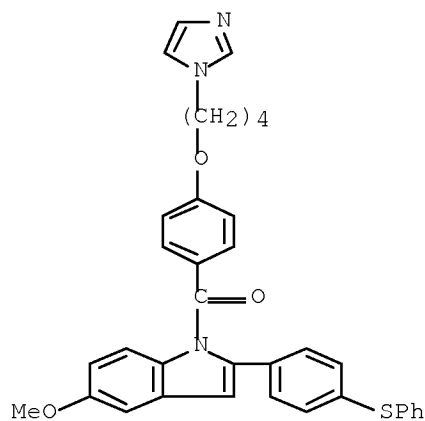
10/532,373 (amended)

piperidinyl)propoxy]benzoyl]- (9CI) (CA INDEX NAME)



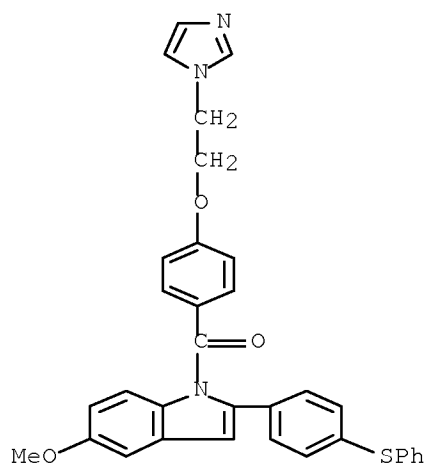
RN 156803-58-6 CAPLUS

CN 1H-Indole, 1-[4-[4-(1H-imidazol-1-yl)butoxy]benzoyl]-5-methoxy-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



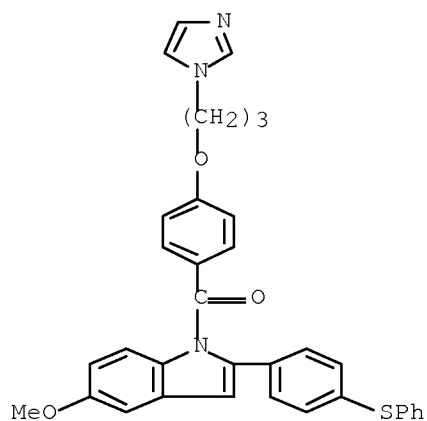
RN 156803-59-7 CAPLUS

CN 1H-Indole, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-5-methoxy-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



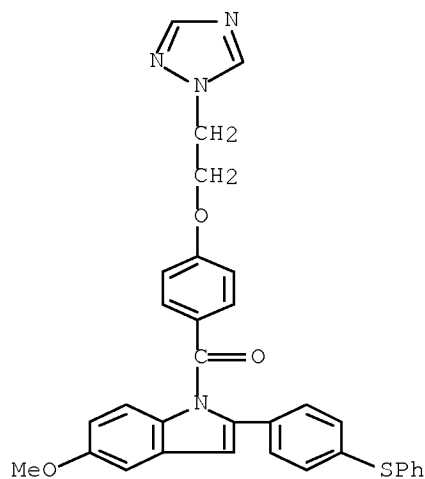
RN 156803-60-0 CAPLUS

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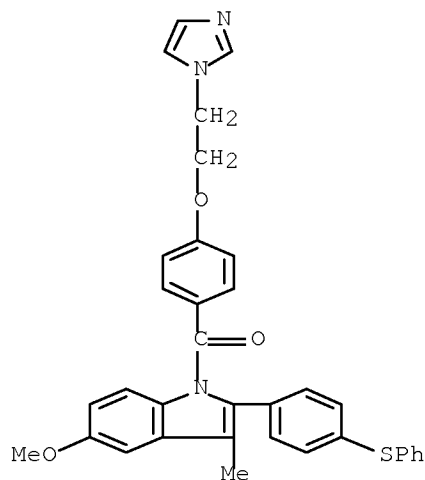
RN 156803-61-1 CAPLUS

CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[2-(1H-1,2,4-triazol-1-yl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



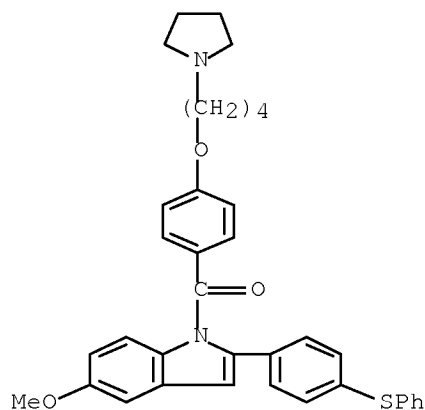
RN 156803-62-2 CAPLUS

CN 1H-Indole, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-5-methoxy-3-methyl-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



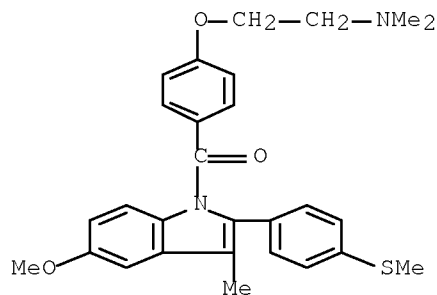
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CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[4-(1-pyrrolidinyl)butoxy]benzoyl]- (9CI) (CA INDEX NAME)



RN 156803-64-4 CAPLUS

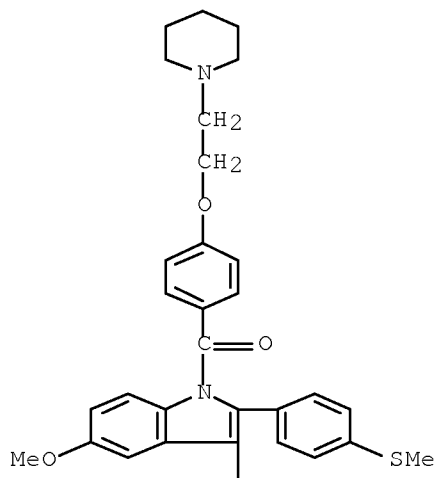
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RN 156803-65-5 CAPLUS

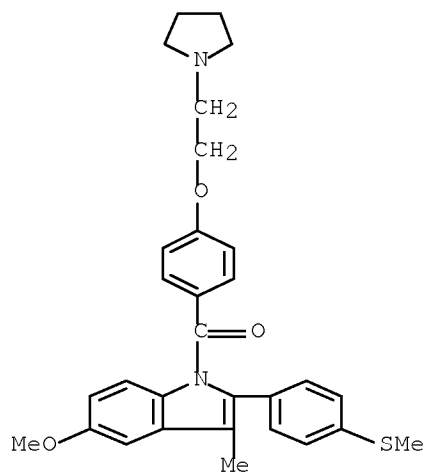
CN 1H-Indole, 5-methoxy-3-methyl-2-[4-(methylthio)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

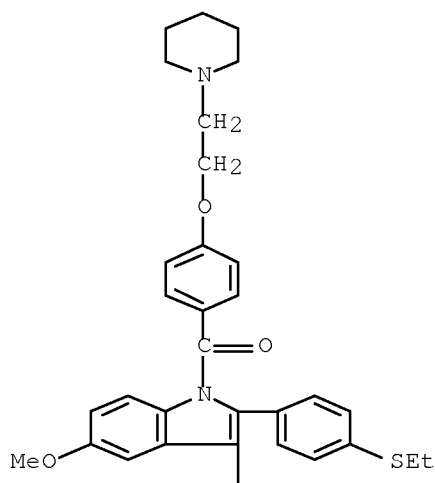




RN 156803-66-6 CAPLUS
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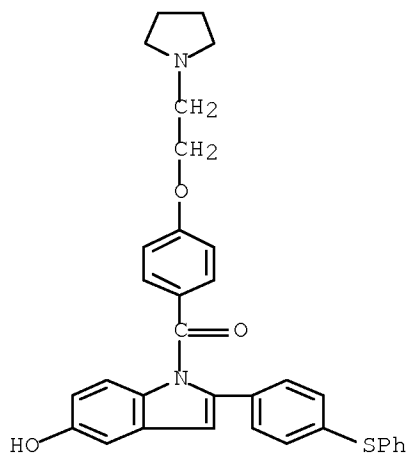


RN 156803-67-7 CAPLUS
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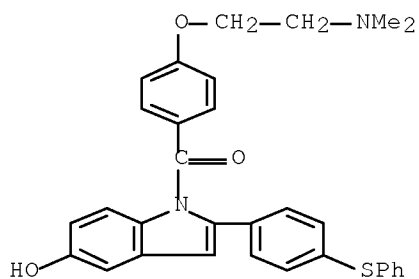




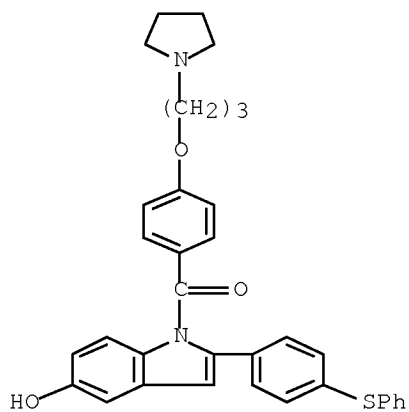
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 CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[2-(1-pyrrolidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



RN 156803-92-8 CAPLUS
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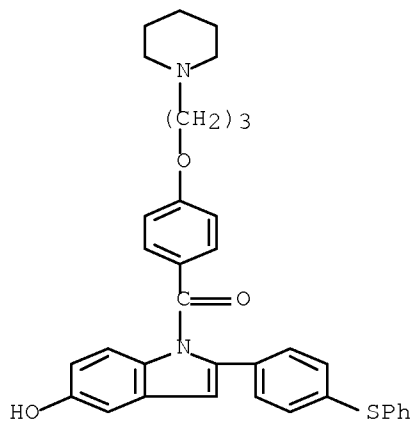


RN 156803-93-9 CAPLUS
 CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[3-(1-pyrrolidinyl)propoxy]benzoyl]- (9CI) (CA INDEX NAME)



RN 156803-94-0 CAPLUS

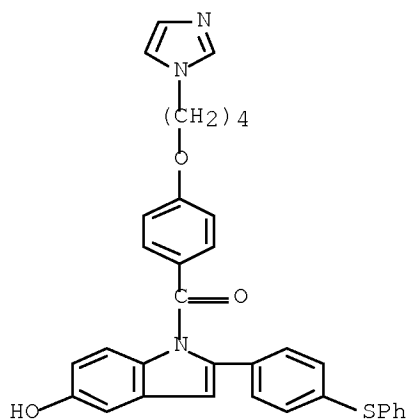
CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[3-(1-piperidinyl)propoxy]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

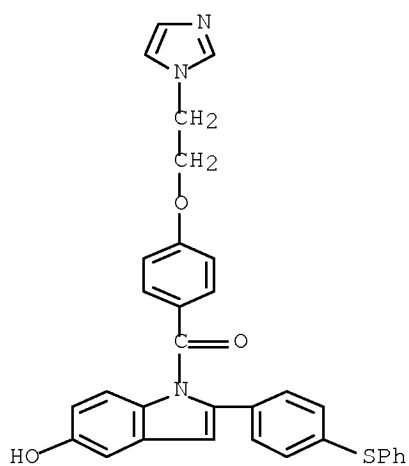
RN 156803-96-2 CAPLUS

CN 1H-Indol-5-ol, 1-[4-[4-(1H-imidazol-1-yl)butoxy]benzoyl]-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



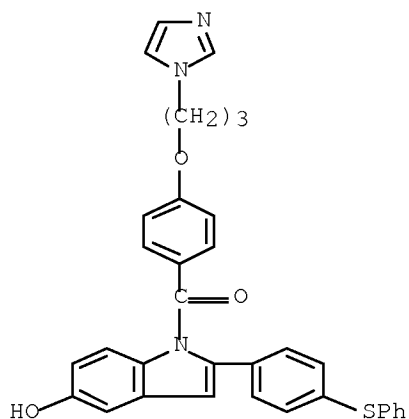
RN 156803-99-5 CAPLUS

CN 1H-Indol-5-ol, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



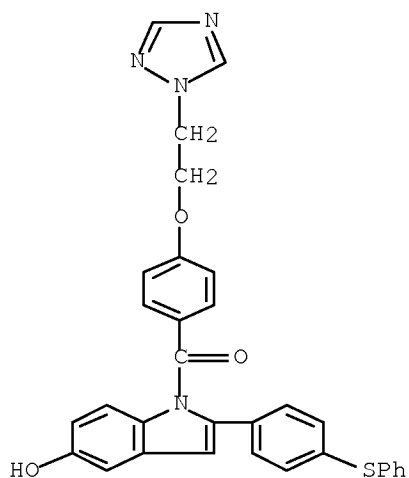
RN 156804-00-1 CAPLUS

CN 1H-Indol-5-ol, 1-[4-[3-(1H-imidazol-1-yl)propoxy]benzoyl]-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



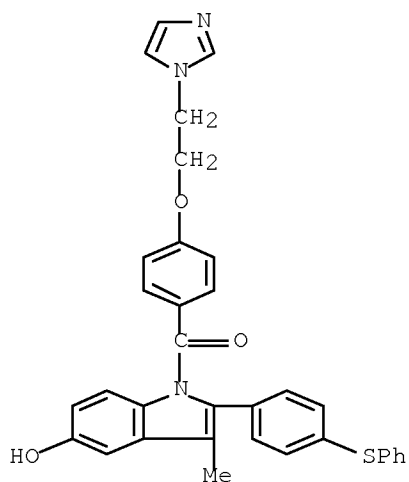
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CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[2-(1H-1,2,4-triazol-1-yl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



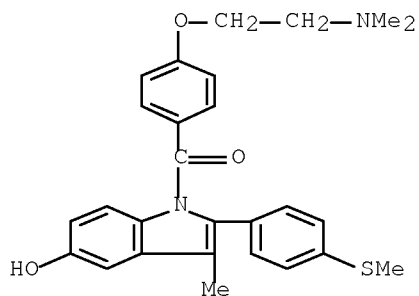
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CN 1H-Indol-5-ol, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-3-methyl-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



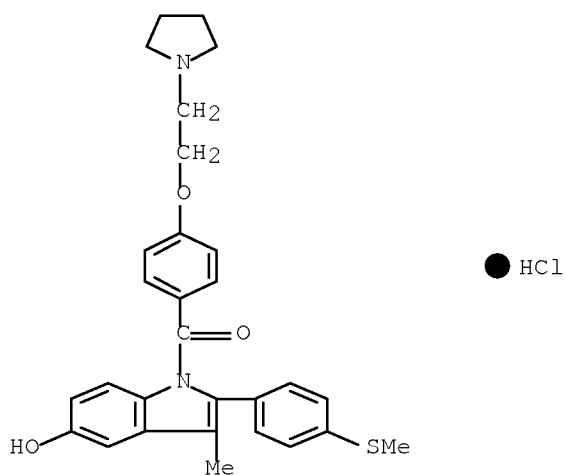
RN 156804-18-1 CAPLUS

CN 1H-Indol-5-ol, 1-[4-[2-(dimethylamino)ethoxy]benzoyl]-3-methyl-2-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 156804-19-2 CAPLUS

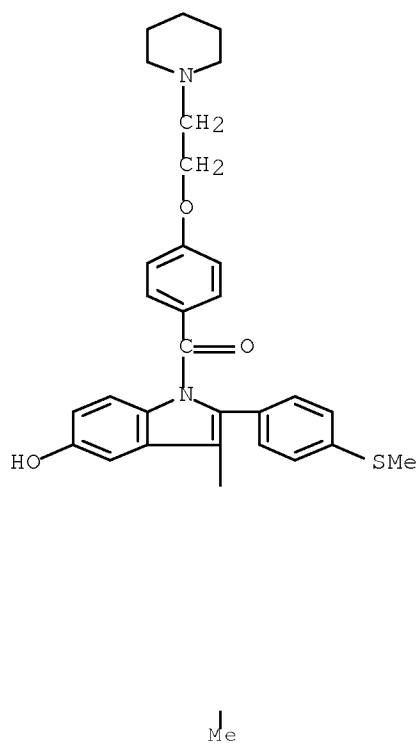
CN 1H-Indol-5-ol, 3-methyl-2-[4-(methylthio)phenyl]-1-[4-[2-(1-pyrrolidinyl)ethoxy]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 156804-20-5 CAPLUS

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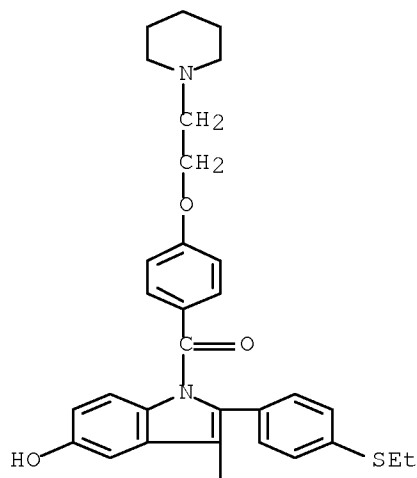
PAGE 1-A



PAGE 2-A

RN 156804-21-6 CAPLUS

CN 1H-Indol-5-ol, 2-[4-(ethylthio)phenyl]-3-methyl-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



Me

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 1989:192866 CAPLUS Full-text
 DN 110:192866
 OREF 110:32024h,32025a
 TI Preparation and formulation of thiadiazinones as cardiovascular agents
 IN Jonas, Rochus; Piulats, Jaime; Lues, Inge; Klockow, Michael
 PA Merck Patent G.m.b.H., Fed. Rep. Ger.
 SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DT Patent

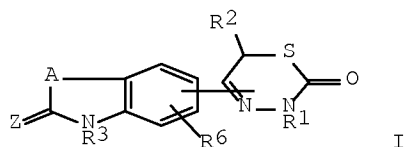
LA German

FAN.CNT 1

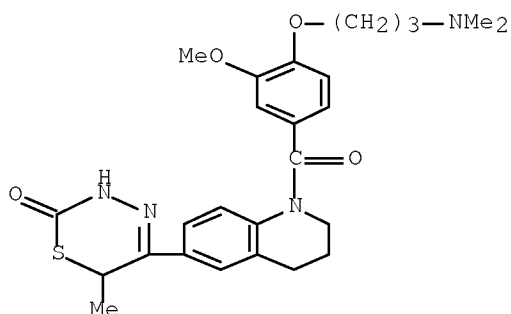
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	EP 294647	B1	19930721		
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	DE 3744149	A1	19890706	DE 1987-3744149	19871224 <--
	AU 8816646	A	19881208	AU 1988-16646	19880520 <--
	AU 614965	B2	19910919		
	AT 91685	T	19930815	AT 1988-108308	19880525 <--
	ES 2056854	T3	19941016	ES 1988-108308	19880525 <--
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	HU 207068	B	19930301		
	CA 1340362	C	19990202	CA 1988-568660	19880603 <--
	KR 9700953	B1	19970121	KR 1988-6762	19880604 <--
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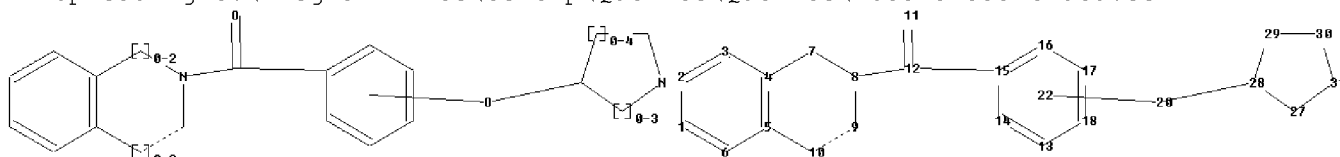
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PRAI DE 1987-3719031 A 19870606
DE 1987-3744149 A 19871224
EP 1988-108308 A 19880525
OS CASREACT 110:192866; MARPAT 110:192866
GI



AB The title compds. [I; R1,R2,R4,R5 = H, alkyl, alkenyl, alkynyl; R3 = R1, acyl; R6 = H, alkyl, alkoxy, OH, F, Cl, Br, iodo; A = CHR4CHR5, CH2CR4R5, CR4R5CH2CH2, etc.; Z = (H, H)^o, (H, alkyl), (alkyl, alkyl), O] useful as cardiovascular agents (no data), were prepared 6-(2-Chloropropionyl)-2-oxo-1,2,3,4-tetrahydroquinoline and H2NNHCSOEt were refluxed 2 h to give 5-(2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-6-methyl-3,6-dihydro-1,3,4-thiadiazin-2-one.
IT 120223-61-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)
RN 120223-61-2 CAPLUS
CN Quinoline, 6-(3,6-dihydro-6-methyl-2-oxo-2H-1,3,4-thiadiazin-5-yl)-1-[4-[3-(dimethylamino)propoxy]-3-methoxybenzoyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



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chain nodes :
11 12 20
ring nodes :

10/532,373 (amended)

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ring bonds :
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16-17 17-18 27-28 27-31 28-29 29-30 30-31
exact/norm bonds :
4-5 4-7 5-6 5-10 7-8 8-9 8-12 9-10 11-12 20-28 27-31 30-31
exact bonds :
12-15 27-28 28-29 29-30
normalized bonds :
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G1:N,Hy

Match level :

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11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS
22:Atom 27:CLASS 28:CLASS 29:Atom 30:Atom 31:Atom

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L8 18 SEA SSS FUL L6

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=> s 18

L9 7 L8

=> s 19 and pd< oct 2002

22814425 PD< OCT 2002

(PD<20021000)

L10 1 L9 AND PD< OCT 2002

=> dis bib abs hitstr

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1998:289523 CAPLUS Full-text

DN 129:4570

TI Preparation of 4-(1-carbamoyl-4-oxo-2-azetidinyloxy)benzamides and analogs
as elastase inhibitors

IN Doherty, James; Dorn, Conrad; Durette, Philippe; Finke, Paul; Maccoss,
Malcolm; Mills, Sander; Shah, Shrenik; Sahoo, Soumya; Hagmann, William;
Hale, Jeffrey; Lanza, Thomas

PA Merck and Co., Inc., USA

SO U.S., 33 pp., Cont. of U.S. Ser. No. 416,771, abandoned.

CODEN: USXXAM

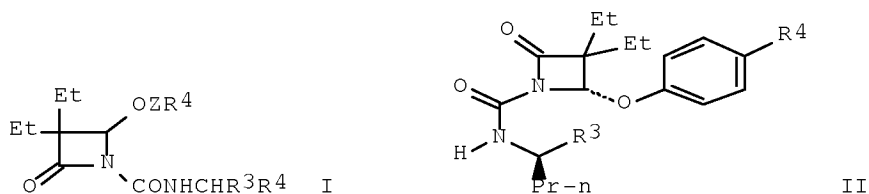
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 5747485	A	19980505	US 1997-848076	19970605 <--
	CN 1206004	A	19990127	CN 1998-109505	19980529 <--
PRAI	US 1995-416771	B1	19950413		

OS MARPAT 129:4570
GI



AB Title compds. [I; R = alkyl; R₁ = (alkoxy)alkyl; R₂ = H, (hydroxy)alkyl, alkenyl, haloalkyl, alkoxyalkyl; R₃ = (un)substituted Ph; R₄ = QCOYNR₇R₈ or Q = CO₂R_x; Q = bond or CR₅R₆; R₅, R₆ = H or alkyl; R₇, R₈ = H, (un)substituted alkyl, alkanoyl, (un)substituted Ph, etc.; R_x = CO₂H, Z₁CO₂CH₂Ph, Z₁CO₂CMe₃; Y = Z₂(CHR₁₂)_nCR₁₀R₁₁; Z = (un)substituted phenylene; Z₁ = alkylene; Z₂ = O or NR₉; R₉ = H, (alkoxy)alkyl, phenyl(alkyl), pyridyl(alkyl); R₁₀, R₁₁ = H, (alkoxy)alkyl, aryl; R₁₀R₁₁ = O; R₁₂ = H or alkyl; n = 1-5] were prepared. Thus, azetidininyloxybenzoic acid II (R₃ = 4-MeC₆H₄) (III; R₄ = CO₂H) was esterified by BrCH₂CO₂CMe₃ and the product amidated by HN(CH₂CH₂OH)₂ to give III [R₄ = CON(CH₂CH₂OH)₂]. Data for biol. activity of I were given.

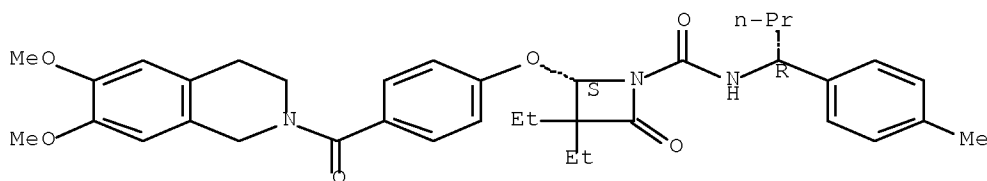
IT 207457-59-8F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(1-carbamoyl-4-oxo-2-azetidininyloxy)benzamides and analogs as elastase inhibitors)

RN 207457-59-8 CAPLUS

CN 1-Azetidinecarboxamide, 2-[4-[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)carbonyl]phenoxy]-3,3-diethyl-N-[(1R)-1-(4-methylphenyl)butyl]-4-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 19 not 110

L11 6 L9 NOT L10

=> dis 111 1-6 bib abs fhitr

L11 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1097510 CAPLUS Full-text
DN 145:438420

10/532,373 (amended)

TI Preparation of N-[[[ureido)phenoxy]hetero/aryl]benzamides and related derivatives as NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake

IN Botez, Iuliana; David-Basei, Christelle; Gourlaouen, Nelly; Nicolaie, Eric; Balavoine, Fabrice; Valette, Gerard; Serradeil-Le Gal, Claudine

PA Cerep, Fr.

SO PCT Int. Appl., 430pp.

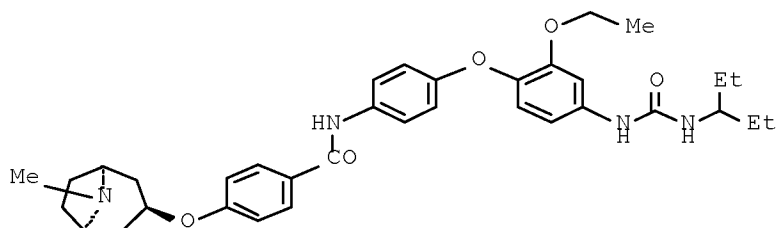
CODEN: PIXXD2

DT Patent

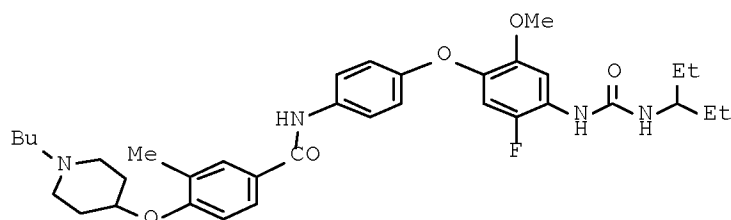
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006108965	A2	20061019	WO 2006-FR829	20060414
	WO 2006108965	A3	20070329		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	FR 2884516	A1	20061020	FR 2005-3795	20050415
	FR 2884516	B1	20070622		
	AU 2006234413	A1	20061019	AU 2006-234413	20060414
	CA 2604773	A1	20061019	CA 2006-2604773	20060414
	EP 1879887	A2	20080123	EP 2006-743700	20060414
	R:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	NO 2007005322	A	20080111	NO 2007-5322	20071017
	KR 2008009112	A	20080124	KR 2007-726216	20071112
	CN 101198604	A	20080611	CN 2006-80021275	20071214
PRAI	FR 2005-3795	A	20050415		
	WO 2006-FR829	W	20060414		
OS	MARPAT 145:438420				
GI					



II



III

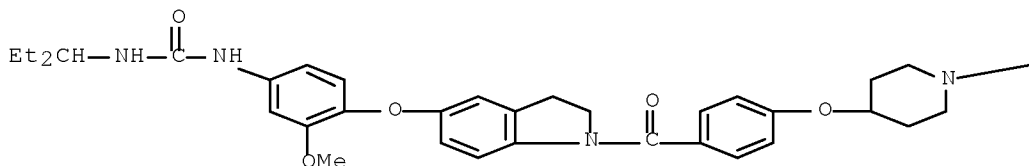
- AB Title compds. R₈R₉N-L₃-A-Ar₃(R₅R₆)-L₂-Ar₂(R₃R₄)-L₁-Ar₁(R₁R₂)-Z-C(:Y)-X [I; X = di/alkylamino, hydrazino; Z = O, NH; Ar₁ = Ph; Y = O, S; or Y = N, in which case Y, Z, and the Ph to which Z is attached form a benzimidazole or benzoxazole ring; R₁, R₂ = independently H, halo, OH, etc.; L₁ = O, S, alkylene; Ar₂ = hetero/aryl, heterocyclyl; R₃ = independently H, halo, OH, CF₃, OCF₃, etc.; R₁R₂Ar₁L₁Ar₂ = tricycle in which R₁R₃ = alkylene, L₁ = O, S, and Ar₂ = Ph; L₂ = CONH and derivs., CH₂O, OCH₂, a bond with provisos; Ar₃ = hetero/aryl, heterocyclyl; when L₂ = a bond, Ar₃ and Ar₂ cannot be simultaneously heteroaryl or heterocyclyl; R₅, R₆ = independently H, halo, OH, alkyl, etc.; A = a bond, O, alkyl(id)ene, CONH, etc. L₃ = (un)substituted cyclo/alkylene, bicyclo or polycycloalkyl(id)ene, etc. with proviso; or L₃AAr₃ = O heterocycle; R₈, R₉ = independently H, NH₂, alkoxy/cyclo/alkyl, heterocyclyl, etc.; or NR₈R₉ = mono or polycyclic N heterocycle; including quaternary ammonium compds. containing N⁺R₈R₉R₁₀; R₁₀ = alkyl; with provisos; and their pharmaceutically acceptable salts, solvates and hydrates, optical and geometrical isomers and their mixts.] were prepared as neuropeptide Y (NPY) antagonists, particularly selective NPY Y₁ subtype antagonists, and their use in therapeutic or prophylactic treatment all NPY involving disorders. Pharmaceutical compns. comprising I and treating methods using them are also disclosed. Thus, II, isolated as HCl salt, was prepared by reacting tropine with 4-fluorobenzonitrile, followed by nitrile hydrolysis, activation of the acid in the presence of TBTU/HOBT in DMF, and reaction with 1-[4-(4-aminophenoxy)-3-ethoxyphenyl]-3-(1-ethylpropyl)urea. III bound specifically to NPY Y₁ receptor (IC₅₀ for neuropeptide Y₁, Y₂, Y₄, and Y₅ receptors = 1.80 nM, > 10,000 nM, 2620 nM, and > 10,000 nM, resp.). In a test measuring the effects of III on arterial hypertension induced by [Leu³¹,Pro³⁴]NPY in anesthetized rats, 3 mg/kg III administered orally reduced the blood pressure by .apprx.10 mm Hg after 1.5 h. I are useful for treating diseases characterized by elevated neuropeptide Y activity such as obesity, and abnormal food behavior, and for controlling food intake.
- IT 912944-08-2P, 1-[4-[[1-[4-[(1-Butylpiperidin-4-yl)oxy]benzoyl]-2,3-dihydro-1H-indol-5-yl]oxy]-3-methoxyphenyl]-3-(1-ethylpropyl)urea
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912944-08-2 CAPLUS

CN Urea, N-[4-[[1-[4-[(1-butyl-4-piperidinyl)oxy]benzoyl]-2,3-dihydro-1H-

PAGE 1-A

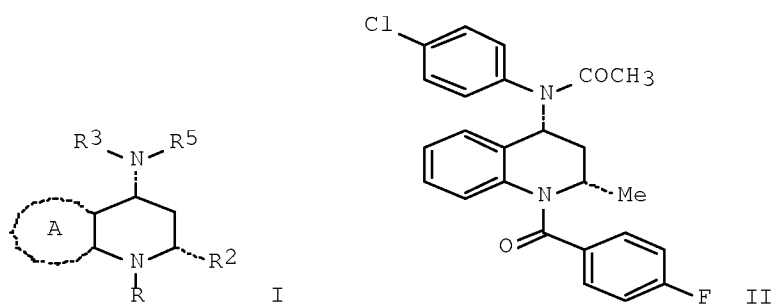


PAGE 1-B

—Bu-n

L11 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:1221157 CAPLUS Full-text
 DN 143:477861
 TI Preparation of tetrahydroquinolinyl PGD2 receptor antagonists for the treatment of inflammatory diseases
 IN Ghosh, Shomir; Elder, Amy M.; Carson, Kenneth G.; Sprott, Kevin T.; Harrison, Sean J.; Hicks, Frederick A.; Renou, Christelle C.; Reynolds, Dominic
 PA Millennium Pharmaceuticals, Inc., USA
 SO U.S. Pat. Appl. Publ., 296 pp., Cont.-in-part of U.S. Ser. No. 678,872. CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050256158	A1	20051117	US 2005-101208	20050407
	US 20040082609	A1	20040429	US 2003-678872	20031003
	US 7211672	B2	20070501		
	JP 2006124396	A	20060518	JP 2005-351372	20051205
	US 20060106061	A1	20060518	US 2005-312960	20051220
PRAI	US 2002-416501P	P	20021004		
	US 2003-678872	A2	20031003		
	US 2004-560410P	P	20040407		
	JP 2004-543358	A3	20031003		
OS	MARPAT 143:477861				
GI					



AB Title compds. I [A = (un)substituted monocyclic aromatic ring; R = X1R1; R5 = X2R4; X1, X2 = independently SO₂, CO, CONH; R1 = (un)substituted hetero/aryl; hetero/aryl fused to a monocyclic non/aromatic or heteroarom. ring, with provisos; R2 = alkyl; R3 = (un)substituted monocyclic or bicyclic group; R4 = hydroxyalkyl, (un)substituted cyclo/alkyl; and their pharmaceutically acceptable salts] were prepared For instance, acylation of (2S,4R)-4-(((benzyloxy)carbonyl)amino)-2-Methyl-1,2,3,4- tetrahydroquinoline (preparation given) with 4-fluorobenzoyl chloride, deprotection, reaction of the amine (no data) with 4-chlorophenylboronic acid, and acetylation gave II. Compds. I inhibited binding of PGD₂ to the CRTh2 receptor; selected examples had K_i < 1 μM. I are useful for inhibiting the G-protein coupled receptor referred to as chemoattractant receptor-homologous mol. expressed on CRTh2 for the treatment of inflammatory disorders.

IT 679808-92-5P

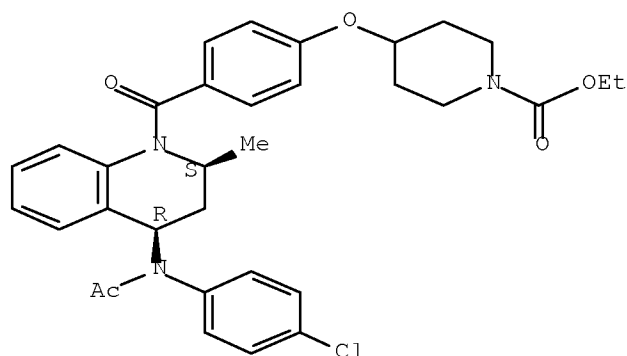
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tetrahydroquinolinyl PGD₂ receptor antagonists for treatment of inflammatory diseases)

RN 679808-92-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[[(2S,4R)-4-[acetyl(4-chlorophenyl)amino]-3,4-dihydro-2-methyl-1(2H)-quinolinyl]carbonyl]phenoxy]-, ethyl ester (CA INDEX NAME)

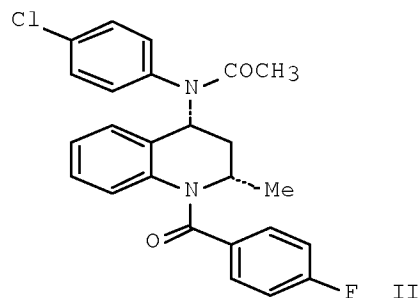
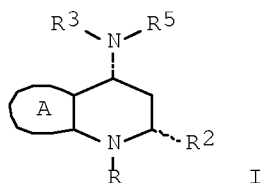
Absolute stereochemistry.



10/532,373 (amended)

AN 2005:1154529 CAPLUS Full-text
 DN 143:422264
 TI Preparation of tetrahydroquinolinyl PGD2 receptor antagonists for the
 treatment of inflammatory diseases
 IN Ghosh, Shomir; Elder, Amy M.; Carson, Kenneth G.; Sprott, Kevin T.;
 Harrison, Sean J.; Hicks, Frederick A.; Renou, Christelle C.; Reynolds,
 Dominic
 PA Millennium Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 393 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005100321	A1	20051027	WO 2005-US11643	20050407
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2005233125	A1	20051027	AU 2005-233125	20050407
	CA 2561564	A1	20051027	CA 2005-2561564	20050407
	EP 1740547	A1	20070110	EP 2005-733968	20050407
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
	CN 101018770	A	20070815	CN 2005-80018590	20050407
	BR 2005009668	A	20071009	BR 2005-9668	20050407
	JP 2007532555	T	20071115	JP 2007-507467	20050407
	IN 2006DN05764	A	20070831	IN 2006-DN5764	20061004
	MX 2006PA11540	A	20070126	MX 2006-PA11540	20061005
	NO 2006005107	A	20061201	NO 2006-5107	20061106
	KR 2007002085	A	20070104	KR 2006-723323	20061107
PRAI	US 2004-560410P	P	20040407		
	WO 2005-US11643	W	20050407		
OS	MARPAT 143:422264				
GI					



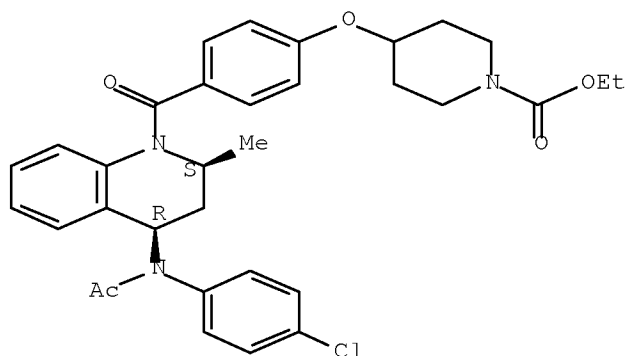
AB Title compds. I [A = (un)substituted monocyclic aromatic ring; R = X1R1; R5 = X2R4; X1-X2 = independently SO₂, CO, CONH; R1 = (un)substituted hetero/aryl; hetero/aryl fused to a monocyclic non/aromatic or heteroarom. ring, with provisos; R2 = alkyl; R3 = (un)substituted monocyclic or bicyclic group; R4 = hydroxyalkyl, (un)substituted cyclo/alkyl; and their pharmaceutically acceptable salts; with the exception of certain compds.] were prepared For instance, acylation of (2S,4R)-4-(((benzyloxy)carbonyl)amino)-2-Methyl-1,2,3,4-tetrahydroquinoline (preparation given) with 4-fluorobenzoyl chloride, deprotection, reaction of the amine (no data) with 4-chlorophenylboronic acid, and acetylation gave II. Compds. I inhibited binding of PGD₂ to the CRTh₂ receptor; selected examples had K_i < 1 μM. I are useful for inhibiting the G-protein coupled receptor referred to as chemoattractant receptor-homologous mol. expressed on CRTh₂ for the treatment of inflammatory disorders.

IT 679808-92-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (PGD₂ receptor antagonists for treatment of inflammatory diseases)

RN 679808-92-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[[(2S,4R)-4-[acetyl(4-chlorophenyl)amino]-3,4-dihydro-2-methyl-1(2H)-quinolinyl]carbonyl]phenoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:286362 CAPLUS Full-text
 DN 142:456269
 TI Discovery of 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid diamides that increase CFTR mediated chloride transport
 AU Hirth, Bradford H.; Qiao, Shuang; Cuff, Lisa M.; Cochran, Brian M.; Pregel, Marko J.; Gregory, Jill S.; Sneddon, Scott F.; Kane, John L.
 CS Genzyme Corp., Genzyme Drug Discovery and Development, Cambridge, MA, 02139, USA
 SO Bioorganic & Medicinal Chemistry Letters (2005), 15(8), 2087-2091
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier B.V.
 DT Journal
 LA English

OS CASREACT 142:456269

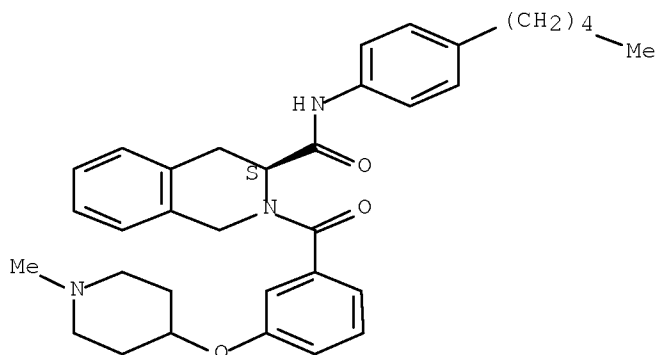
AB A series of 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid diamides that increase chloride transport in cells expressing mutant cystic fibrosis transmembrane conductance regulator (CFTR) protein has been identified from our compound library. Analoging efforts and the resulting structure-activity relationships uncovered are detailed. Compound potency was improved over 30-fold from the original lead, yielding several analogs with EC50 values below 10 nM in our cellular chloride transport assay.

IT 851777-82-7P
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
 (discovery of and structure-activity relationship of 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid diamides that increase CFTR mediated chloride transport)

RN 851777-82-7 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[3-[(1-methyl-4-piperidinyl)oxy]benzoyl]-N-(4-pentylphenyl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:370903 CAPLUS Full-text

DN 140:375087

TI Preparation of bicyclic benzamides as histamine H3 receptor ligands useful in the treatment of neurological diseases

IN Best, Desmond John; Orlek, Barry Sidney

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 51 pp.
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037788	A1	20040506	WO 2003-EP11650	20031020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,				

10/532,373 (amended)

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003278119 A1 20040513 AU 2003-278119 20031020
 EP 1554243 A1 20050720 EP 2003-769430 20031020
 EP 1554243 B1 20061122

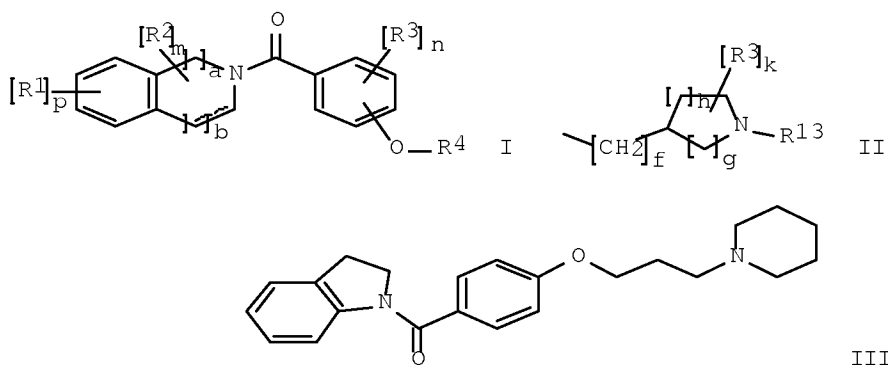
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006505623 T 20060216 JP 2005-501524 20031020
 AT 346044 T 20061215 AT 2003-769430 20031020
 ES 2276125 T3 20070616 ES 2003-769430 20031020
 US 20070105838 A1 20070510 US 2005-532373 20050421

PRAI GB 2002-24557 A 20021022
 GB 2003-6328 A 20030319
 WO 2003-EP11650 W 20031020

OS MARPAT 140:375087

GI



AB The title compds. [I; R1, R2 = halo, OH, CN, etc.; a, b = 0-2 (a and b cannot both = 0); R3 = halo, alkyl, alkoxy, CN, NH2, CF3; m, n = 0-2; p = 0-3 (when p = > 1 then two R1 may instead be linked to form a heterocyclyl); R4 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl; or NR11R12 = (un)substituted heterocyclyl; R13 = H, alkyl, cycloalkyl, alkylaryl, heterocyclyl; R14 = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2 and h = 0-3 (g and h cannot both be 0)], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1-yl)propoxy]benzoic acid hydrochloride (preparation given) with indoline afforded III which exhibited pKb ≥ 8.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

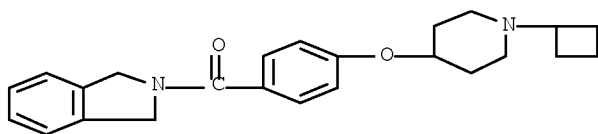
IT 685565-01-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic benzamides as histamine H3 receptor ligands useful in the treatment of neurol. diseases)

RN 685565-01-9 CAPLUS

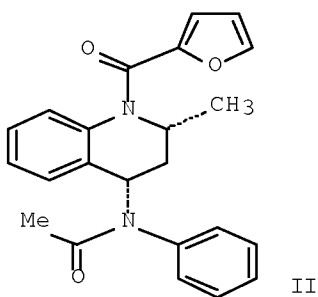
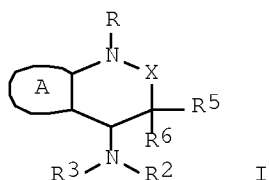
CN Methanone, [4-[(1-cyclobutyl-4-piperidinyl)oxy]phenyl](1,3-dihydro-2H-isoindol-2-yl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L11 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:331917 CAPLUS Full-text
 DN 140:339203
 TI Preparation of tetrahydroquinolinyl PGD2 receptor antagonists for the
 treatment of inflammatory diseases
 IN Ghosh, Shomir; Elder, Amy M.; Carson, Kenneth G.; Sprott, Kevin; Harrison,
 Sean
 PA Millennium Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 257 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004032848	A2	20040422	WO 2003-US31542	20031003
	WO 2004032848	A3	20040715		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2500582	A1	20040422	CA 2003-2500582	20031003
	AU 2003277285	A1	20040504	AU 2003-277285	20031003
	AU 2003277285	B2	20071213		
	EP 1556047	A2	20050727	EP 2003-808144	20031003
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003015041	A	20050816	BR 2003-15041	20031003
	CN 1720047	A	20060111	CN 2003-80104795	20031003
	JP 2006508077	T	20060309	JP 2004-543358	20031003
	NO 2005001566	A	20050615	NO 2005-1566	20050323
	MX 2005PA03456	A	20050705	MX 2005-PA3456	20050331
	JP 2006124396	A	20060518	JP 2005-351372	20051205
PRAI	US 2002-416501P	P	20021004		
	JP 2004-543358	A3	20031003		
	WO 2003-US31542	W	20031003		
OS	MARPAT 140:339203				
GI					



AB Title compds. I [A = (un)substituted monocyclic aromatic ring; R = X1R1; R2 = X2R4; R3 = (un)substituted cycloaliph. group, etc.; X = CO, bivalent alkyl; X1-2 = bond, SO, SO2, CO, etc.; R1 = H, cycloaliph. group, aromatic group, etc. provided that when X1 = bond, SO or SO2, R1 is not equal H; R4 = H, aliphatic group, etc.; R5-6 = H, alkyl] are prepared For instance, *cis*-4-phenylamino-2-methyl-1,2,3,4-tetrahydroquinoline (preparation given) is acylated with 2-furoyl chloride (CH₂Cl₂, *i*-Pr₂NEt) and the resulting intermediate acetylated (CH₂Cl₂, *i*-Pr₂NEt, AcCl) to give II. Compds. I inhibit binding of PGD₂ to the CRTh2 receptor; selected examples have K_i < 10 μM. Also disclosed is the use of I for inhibiting the G-protein coupled receptor referred to as chemoattractant receptor-homologous mol. expressed on CRTh2 for the treatment of inflammatory disorders.

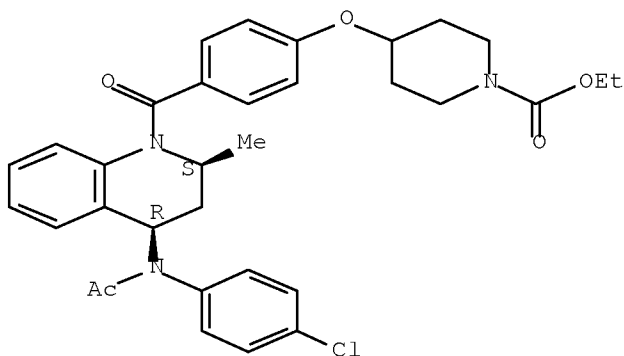
IT 679808-92-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PGD₂ receptor antagonists for treatment of inflammatory diseases)

RN 679808-92-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[[(2S,4R)-4-[acetyl(4-chlorophenyl)amino]-3,4-dihydro-2-methyl-1(2H)-quinolinyl]carbonyl]phenoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



=> log y

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